10/523,286A 11/1/2006 Yong Chu

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NEWS 4	AUG 28	ADISCTI Reloaded and Enhanced
NEWS 5	AUG 30	CA(SM)/CAplus(SM) Austrian patent law changes
NEWS 6	SEP 11	CA/CAplus enhanced with more pre-1907 records
NEWS 7	SEP 21	CA/CAplus fields enhanced with simultaneous left and right truncation
NEWS 8	SEP 25	CA(SM)/CAplus(SM) display of CA Lexicon enhanced
NEWS 9	SEP 25	CAS REGISTRY(SM) no longer includes Concord 3D coordinates
NEWS 10	SEP 25	CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine
NEWS 11	SEP 28	CEABA-VTB classification code fields reloaded with new classification scheme
NEWS 12	OCT 19	LOGOFF HOLD duration extended to 120 minutes
NEWS 13	OCT 19	E-mail format enhanced
NEWS 14	OCT 23	Option to turn off MARPAT highlighting enhancements available
NEWS 15	OCT 23	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS 16	OCT 23	The Derwent World Patents Index suite of databases on STN has been enhanced and reloaded
NEWS 17	OCT 30	CHEMLIST enhanced with new search and display field

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available

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FILE 'HOME' ENTERED AT 15:14:43 ON 01 NOV 2006

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 15:15:00 ON 01 NOV 2006
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DICTIONARY FILE UPDATES: 31 OCT 2006 HIGHEST RN 911785-87-0

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Uploading C:\Documents and Settings\ychu\Desktop\Case\10523286\10523286.str

L1 STRUCTURE UPLOADED

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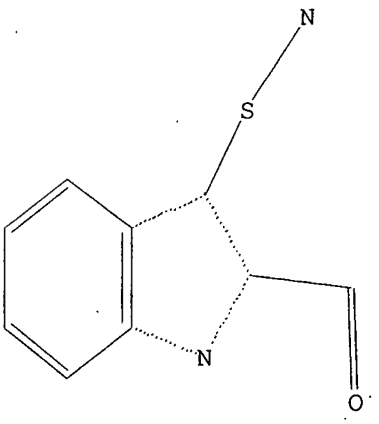
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 15:15:36 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 108 TO ITERATE

100.0% PROCESSED 108 ITERATIONS 13 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 1537 TO 2783
PROJECTED ANSWERS: 44 TO 476

L2 13 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 15:15:43 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2139 TO ITERATE

100.0% PROCESSED 2139 ITERATIONS 264 ANSWERS
SEARCH TIME: 00.00.01

L3 264 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 167.38 167.59

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FILE COVERS 1907 - 1 Nov 2006 VOL 145 ISS 19
FILE LAST UPDATED: 31 Oct 2006 (20061031/ED)

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http://www.cas.org/infopolicy.html

=> s l3
L4 22 L3

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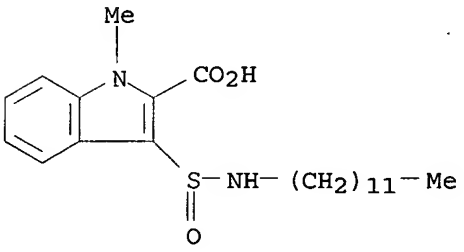
L4 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1236618 CAPLUS
DOCUMENT NUMBER: 144:100358
TITLE: Structure-activity relationship studies of 3-dodecanoylindole-2-carboxylic acid inhibitors of cytosolic phospholipase A2.alpha.-mediated arachidonic acid release in intact platelets: variation of the keto moiety
AUTHOR(S): Ghasemi, Afshin; Elfringhoff, Alwine Schulze; Lehr, Matthias
CORPORATE SOURCE: Institute of Pharmaceutical and Medicinal Chemistry, University of Muenster, Muenster, D-48149, Germany
SOURCE: Journal of Enzyme Inhibition and Medicinal Chemistry (2005), 20(5), 429-437
CODEN: JEIMAZ; ISSN: 1475-6366
PUBLISHER: Taylor & Francis Ltd.

DOCUMENT TYPE: Journal
LANGUAGE: English

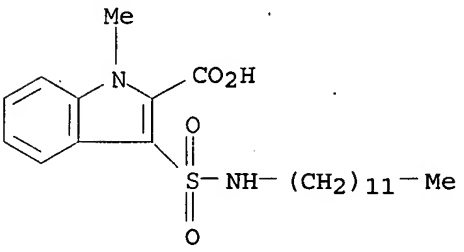
AB Recently we found that 1-methyldodecanoylindole-2-carboxylic acid (1) and 1-[2-(4-carboxyphenoxy)ethyl]-3-dodecanoylindole-2-carboxylic acid (4) were inhibitors of the cytosolic phospholipase A2.alpha. (cPLA2.alpha.)-mediated arachidonic acid release in calcium ionophore A23187-stimulated human platelets with IC50-values of 4.8 .mu.M (1) and 0.86 .mu.M (4). We have now replaced the 3-acyl residue of these compds. by alkylated sulfinyl-, sulfonyl-, sulfinamoyl-, sulfamoyl-, carbonylamino-, or carbonylaminomethyl-substituents. Structure-activity relation studies revealed that the pronounced cellular activity of 4 strongly depends on the presence of the 3-acyl moiety. Surprisingly, when testing 4 and its derivs. in an assay with the isolated cPLA2, none of these compds. showed an inhibitory potency at 10 .mu.M indicating that they do not inhibit cPLA2 .alpha. in the cells by a direct interaction with the active site of the enzyme.

IT 872593-15-2P 872593-17-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(structure-activity relationship studies of dodecanoylindole carboxylic acid inhibitors of cPLA2.alpha.-mediated arachidonic acid release in intact platelets)

RN 872593-15-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(dodecylamino)sulfinyl]-1-methyl- (9CI) (CA INDEX NAME)

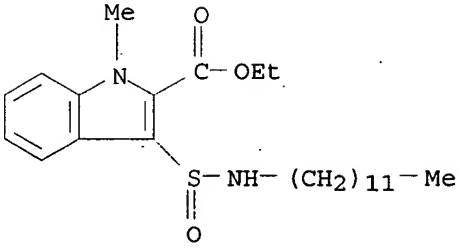


RN 872593-17-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(dodecylamino)sulfonyl]-1-methyl- (9CI) (CA INDEX NAME)

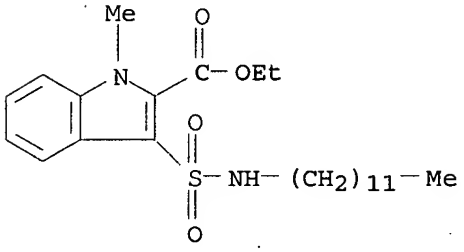


IT 872593-14-1P 872593-16-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(structure-activity relationship studies of dodecanoylindole carboxylic acid inhibitors of cPLA2.alpha.-mediated arachidonic acid release in intact platelets)

RN 872593-14-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(dodecylamino)sulfinyl]-1-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 872593-16-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[(dodecylamino)sulfonyl]-1-methyl-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:544994 CAPLUS
DOCUMENT NUMBER: 143:168111
TITLE: Suspension type sulfonylurea herbicide and the preparation method thereof
INVENTOR(S): Ren, Tianrui
PATENT ASSIGNEE(S): Institute of Process Engineering, Chinese Academy of Sciences, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, No pp. given
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

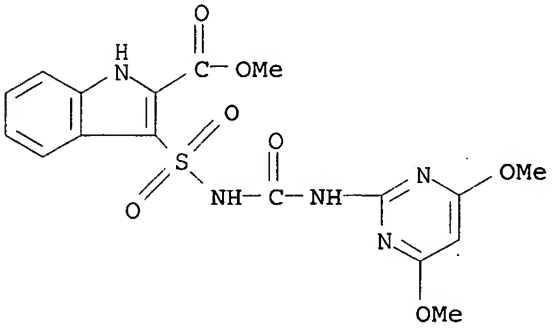
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1524418	A	20040901	CN 2004-10039557	20040209
PRIORITY APPLN. INFO.:			CN 2003-105379 A	20030227

AB The invention relates to a suspension type herbicide contg. sulfonylureas, in particular a suspension type herbicide of 1-(2-methoxycarbonylindole-3-sulfonyl)-3-(4,6-dimethoxypyrimidine-2-group)urea, wherein the herbicide comprises 10 wt% of reactive component, 1-3 wt% of surface-active agent of laurel polyoxyethylene, 15-25 wt% carrying agent of alta-mud, or / and 0.1-1 wt% penetrating agent of sodium dodecylbenzene sulfonate, or / and 3-5 wt% suspension aiding agent of lignin sulfonate, or / and 0.05-0.5 wt% de-icing fluid of ethylene alc., glycerin or glycerin, and the rest of disperse medium of deionized water. By evenly mixing the above content and grinding until the solid grain diam. is less than 10 um, the herbicide according to the invention can be prepd.
IT 350802-79-8

RL: AGR (Agricultural use); BIOL (Biological study); USES (Uses)
(suspension type sulfonylurea herbicide)

RN 350802-79-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-[[[[(4,6-dimethoxy-2-pyrimidinyl)amino]carbonyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



> Current application

L4 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:142899 CAPLUS

DOCUMENT NUMBER: 140:181323

TITLE: Preparation of indolesulfonamides as tyrosine kinase inhibitors, in particular insulin-like growth factor 1 receptor (IGF-1R) inhibitors

INVENTOR(S): Dinsmore, Christopher J.; Beshore, Douglas C.; Bergman, Jeffrey M.; Lindsley, Craig W.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 191 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014300	A2	20040219	WO 2003-US24393	20030805
WO 2004014300	A3	20040422		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2493575	AA	20040219	CA 2003-2493575	20030805
AU 2003257170	A1	20040225	AU 2003-257170	20030805
EP 1534268	A2	20050601	EP 2003-784904	20030805
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006504668	T2	20060209	JP 2004-527739	20030805
US 2006128783	A1	20060615	US 2005-523286	20050203

PRIORITY APPLN. INFO.: US 2002-402482P P 20020809
WO 2003-US24393 W 20030805

OTHER SOURCE(S): CASREACT 140:181323; MARPAT 140:181323

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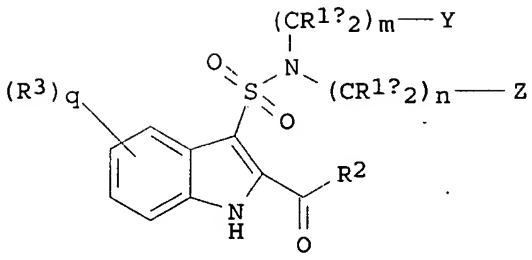
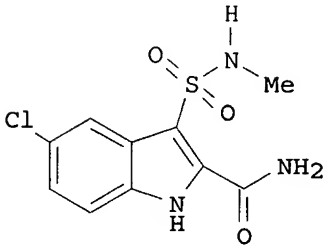


I



II

AB Title compds. I [wherein R1a, R1b = independently H, OH and derivs., NH2 and derivs., (un)substituted cyclo/alkyl, aryl, heterocyclyl; R2 = H, OH and derivs., NH2 and derivs., (un)substituted cyclo/alkyl, aryl; R3 = H, halo, (CH2)pOH and derivs., CO2H and derivs., CH:CH2 and derivs., NO2, (CH2)pNH2 and derivs., NHCHO and derivs., NHS(O)oR4, S(O)oR4, S(O)oNH2 and derivs., CN, (CH2)pNH(CH2)pH and derivs., etc.; R4 = (un)substituted cyclo/alkyl, aryl, heterocyclyl; m = 0-6; n = 0-6; q = 0-4; p = 0-6; o = 0-2; and their pharmaceutically acceptable salts, hydrates and stereoisomers] were prepd. for inhibiting, modulating and/or regulating signal transduction of both receptor-type and non-receptor type tyrosine kinases. For example, I was prepd. in 5 steps via substitution of benzenesulfonyl chloride with Et 5-chloro-1H-indole-2-carboxylate, sulfonation with concd. H2SO4 in DCM, chlorination with oxalyl chloride in the presence of DCM/DMF, substitution with methylamine hydrochloride in the presence of TEA/DCM, and one-pot amidation with NH3/phenylsulfonyl group deprotection in i-PrOH. I inhibited insulin-like growth factor 1 receptor (IGF-1R) or Insulin receptor kinase with an IC50 .ltoreq. 100 .mu.M. Thus, I and their formulations are useful for treating cancer, diabetes, an autoimmune disorder, a hyperproliferative disorder, aging, acromegaly, and Crohn's disease.

IT 660413-49-0P, 5-Bromo-3-[[[3-[(4-chlorophenyl)sulfinyl]propyl]amino]sulfonyl]-1H-indole-2-carboxamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(IGF-1R inhibitor; prepn. of indolesulfonamides as tyrosine kinase inhibitors)

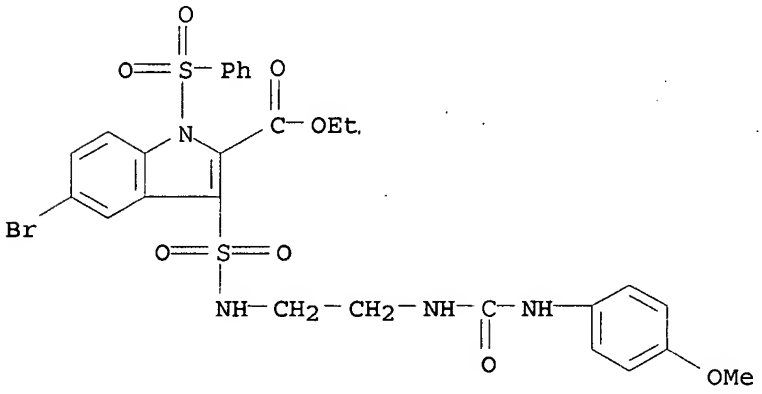
RN 660413-49-0 CAPLUS

CN 1H-Indole-2-carboxamide, 5-bromo-3-[[[3-[(4-chlorophenyl)sulfinyl]propyl]amino]sulfonyl]- (9CI) (CA INDEX NAME)

IT 660413-75-2P, Ethyl 5-bromo-3-[[[2-[[[(4-methoxyphenyl)amino]carbonyl]amino]ethyl]amino]sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxylate 660413-90-1P, Ethyl 5-bromo-3-[[[2-[(4-methoxyphenyl)amino]ethyl]amino]sulfonyl]-1-(phenylsulfonyl)-1H-indole-2-carboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of indolesulfonamides as tyrosine kinase inhibitors)

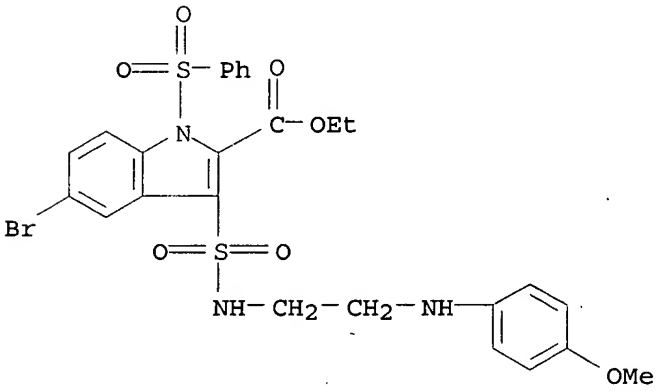
RN 660413-75-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-3-[[[2-[[[(4-methoxyphenyl)amino]carbonyl]amino]ethyl]amino]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 660413-90-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-bromo-3-[[[2-[(4-methoxyphenyl)amino]ethyl]amino]sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:610408 CAPLUS

DOCUMENT NUMBER: 137:154844

TITLE: Preparation of heterocyclic sulfonamides for treatment of endothelin-mediated disorders

INVENTOR(S): Wu, Chengde; Blok, Natalie; Patricia, Woodard Timothy; Keller, Karin; Woodard, Patricia

PATENT ASSIGNEE(S): Texas Biotechnology Corporation, USA

SOURCE: U.S., 65 pp., Cont.-in-part of U.S. 6,248,767.
CODEN: USXXAM

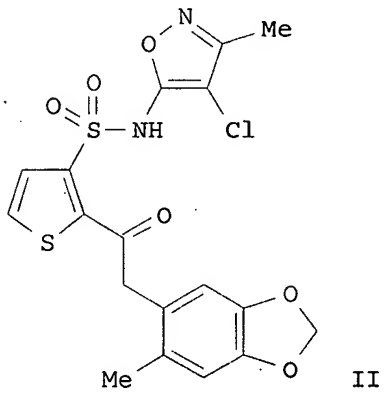
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6432994	B1	20020813	US 2000-403599	20000327
US 5783705	A	19980721	US 1997-847797	19970428
US 6248767	B1	20010619	US 1997-938444	19970926
WO 9849162	A1	19981105	WO 1998-US6680	19980402
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 2002091270	A1	20020711	US 2001-29561	20011220
US 6683103	B2	20040127		

PRIORITY APPLN. INFO.:	US 1997-847797	A2	19970428
	US 1997-938444	A2	19970926
	WO 1998-US6680	W	19980402
	US 2000-403599	A3	20000327

OTHER SOURCE(S): MARPAT 137:154844
GI



II

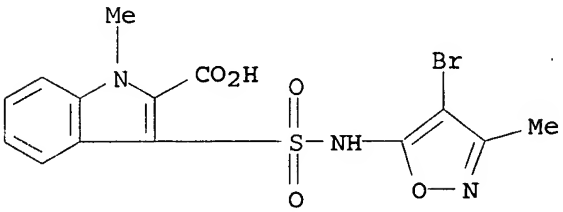
AB The title sulfonamides Ar2-SO2-NH-Ar1 [I; Ar1 = (un)substituted 5-6 membered heteroaryl; Ar2 = thienyl, furyl, pyrrolyl] and their pharmaceutically acceptable salts, useful for modulating or altering the activity of the endothelin family of peptides, were prepd. and formulated. In particular, formulations of sodium salts of N-(isoxazolyl)thienylsulfonamides, N-(isoxazolyl)furylsulfonamides and N-(isoxazolyl)pyrrolylsulfonamides, are provided. A table of approx. 300 compds. I, and over 30 detailed synthetic examples, are given. For instance, 5-methylbenzo[d][1,3]dioxole in CH2Cl2 reacted with HCl and formaldehyde in the presence of Bu4NBr to give 5-(chloromethyl)-6-methylbenzo[d][1,3]dioxole. Grignard reaction of this with N-methoxy-N-methyl-3-(4-chloro-3-methyl-5-isoxazolylsulfamoyl)-2-thiophenecarboxamide gave title compd. II, which was isolated as the free acid, dissolved in EtOAc, and treated with satd. aq. NaHCO3, to give the sodium salt II.Na in 98.2% purity. Alternatively, treatment of II with an equimolar amt. of Na2HPO4 in aq. MeCN gave the salt II.H3PO4.2Na. A soln. of II.Na and USP dextrose in phosphate buffer was filtered into vials and

lyophilized, to give injectable II.Na for use at 25 mg/mL or 12.5 mg/mL. The aforementioned salts both showed improved soly. and stability in various aq. media, such as Labrasol, compared to the free acid II.

IT 187164-89-2P, N-(4-Bromo-3-methyl-5-isoxazolyl)-2-carboxy-1-methylindole-3-sulfonamide 187164-92-7P, N-(4-Chloro-3-methyl-5-isoxazolyl)-2-[[(4-tolyl)amino]carbonyl]-1-methylindole-3-sulfonamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of heterocyclic sulfonamides for treatment of endothelin-mediated disorders)

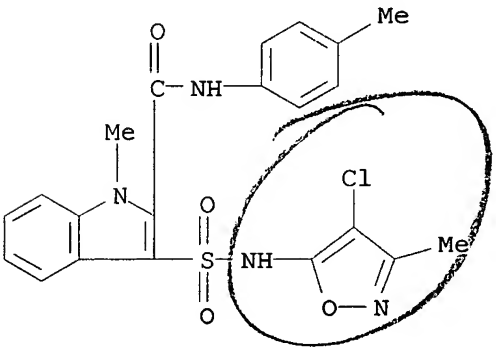
RN 187164-89-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-[[(4-bromo-3-methyl-5-isoxazolyl)amino]sulfonyl]-1-methyl- (9CI) (CA INDEX NAME)



RN 187164-92-7 CAPLUS

CN 1H-Indole-2-carboxamide, 3-[[(4-chloro-3-methyl-5-isoxazolyl)amino]sulfonyl]-1-methyl-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 271 THERE ARE 271 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:574544 CAPLUS

DOCUMENT NUMBER: 135:122516

TITLE: Preparation of indolesulfonylureas as herbicides

INVENTOR(S): Ren, Tianrui

PATENT ASSIGNEE(S): Inst. of Chemical Metallurgy, Academia Sinica, Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 16 pp. CODEN: CNXXEV

DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

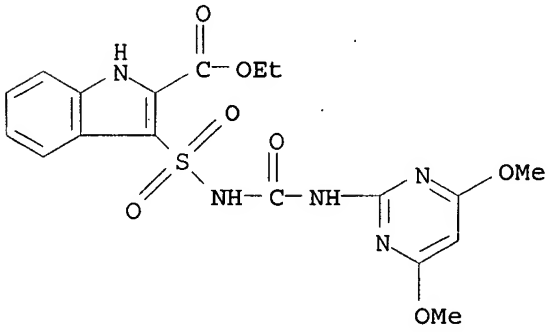
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1277195	A	20001220	CN 1999-108041	19990611
CN 1117731	B	20030813		

PRIORITY APPLN. INFO.: CN 1999-108041 19990611
OTHER SOURCE(S): CASREACT 135:122516

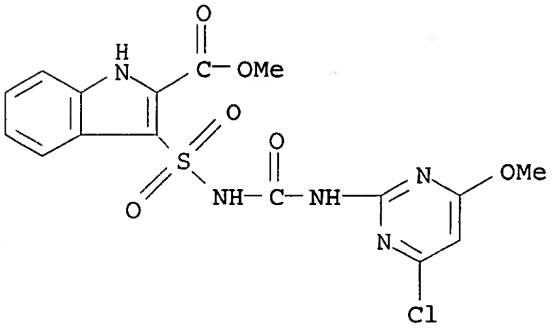
AB Title compds. were prepd. by reaction of aminopyrimidine deriv. or amino-s-triazine deriv. with chlorosulfonyl isocyanate in org. solvent at -5 to -10.degree. for 10-180 min, and sulfonylating 2-alkoxycarbonylindoles in org. solvent in the presence of TiCl4 at 40-90.degree. for 4-16 h. The org. solvent is dichloroethane, acetone, THF, nitrobenzene, or dioxane. The urea deriv. is used as herbicide. The wettable power and emulsified conc. are prepd.

IT 85963-87-7P 350802-77-6P 350802-78-7P 350802-79-8P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indolesulfonylureas as herbicides)

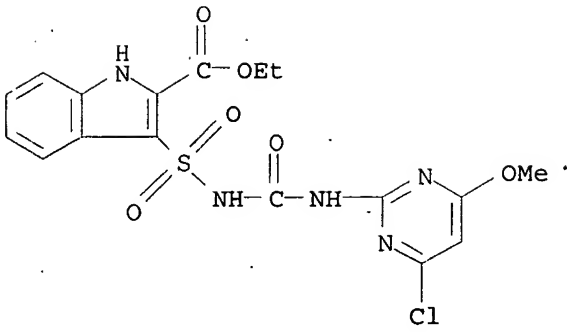
RN 85963-87-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[(4,6-dimethoxy-2-pyrimidinyl)amino]carbonyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



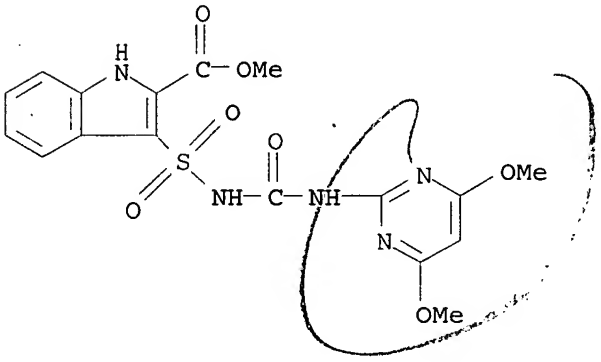
RN 350802-77-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[(4-chloro-6-methoxy-2-pyrimidinyl)amino]carbonyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



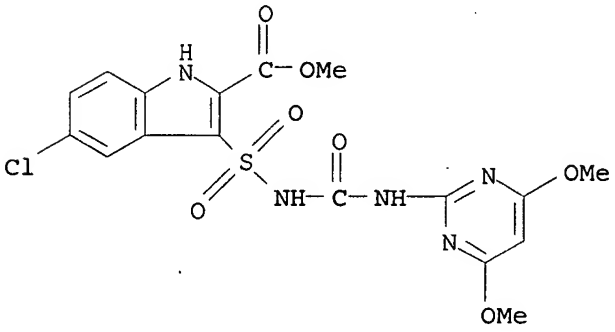
RN 350802-78-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[(4-chloro-6-methoxy-2-pyrimidinyl)amino]carbonyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



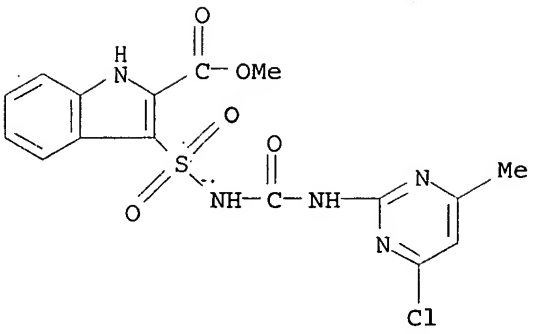
RN 350802-79-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[(4,6-dimethoxy-2-pyrimidinyl)amino]carbonyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



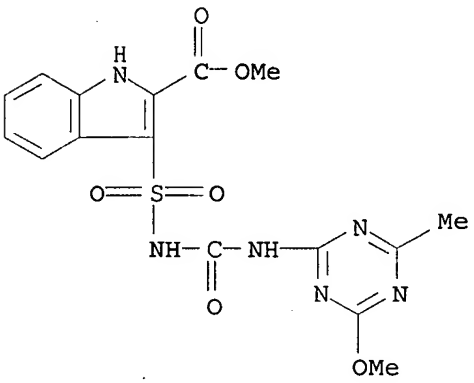
IT 350802-80-1P 350802-81-2P 350802-82-3P
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indolesulfonylureas as herbicides)
RN 350802-80-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-[[[[(4,6-dimethoxy-2-pyrimidinyl)amino]carbonyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 350802-81-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[(4-chloro-6-methyl-2-pyrimidinyl)amino]carbonyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 350802-82-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino]carbonyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:507533 CAPLUS
DOCUMENT NUMBER: 135:102580
TITLE: Pharmaceutical and veterinary uses of endothelin antagonists for treatment of laminitis and other conditions, and preparation thereof
INVENTOR(S): Brock, Thomas A.; Ward, Patrick R.
PATENT ASSIGNEE(S): Texas Biotechnology Corporation, USA
SOURCE: PCT Int. Appl., 363 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001049289	A1	20010712	WO 2000-US35280	20001227
W: AE, AG, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

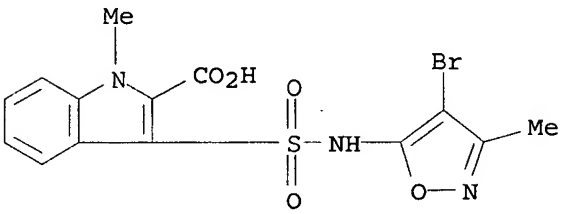
AU 2001024567 A5 20010716 AU 2001-24567 20001227
PRIORITY APPLN. INFO.: US 1999-174125P P 19991231
WO 2000-US35280 W 20001227

OTHER SOURCE(S): MARPAT 135:102580

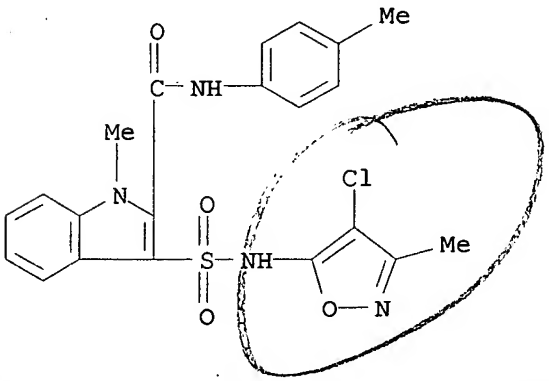
AB Pharmaceutical and veterinary uses of endothelin antagonists are provided. In particular, methods of treatment of laminitis, such as equine and bovine laminitis, by administration of one or more endothelin antagonists are provided. Methods are also provided for the treatment, prevention, or amelioration of one or more symptoms of menopause; osteoporosis and metabolic bone disorders; climacteric disorders, including hot flushes or flashes, abnormal clotting patterns, urogenital discomfort and increased incidence of cardiovascular disease, and other disorders assocd. with the redn. in ovarian function in women; pre-eclampsia; and control and management of labor during pregnancy by administration of endothelin antagonists.

IT 187164-89-2 187164-92-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(endothelin antagonists for veterinary or pharmaceutical use in treatment of laminitis and other conditions)

RN 187164-89-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[(4-bromo-3-methyl-5-isoxazolyl)amino]sulfonyl]-1-methyl- (9CI) (CA INDEX NAME)



RN 187164-92-7 CAPLUS
CN 1H-Indole-2-carboxamide, 3-[[(4-chloro-3-methyl-5-isoxazolyl)amino]sulfonyl]-1-methyl-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:449271 CAPLUS
DOCUMENT NUMBER: 135:46080
TITLE: Formulation of heterocyclic sulfonamides for treatment of endothelin-mediated disorders

INVENTOR(S): Blok, Natalie; Wu, Chengde; Woodard, Patricia; Keller, Karin; Kogan, Timothy
PATENT ASSIGNEE(S): Texas Biotechnology Corp., USA
SOURCE: U.S., 58 pp., Cont.-in-part of U.S. 5,783,705.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6248767	B1	20010619	US 1997-938444	19970926
US 5783705	A	19980721	US 1997-847797	19970428
CA 2281090	AA	19981105	CA 1998-2281090	19980402
CA 2281090	C	20050607		
CA 2496680	AA	19981105	CA 1998-2496680	19980402
WO 9849162	A1	19981105	WO 1998-US6680	19980402
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9869504	A1	19981124	AU 1998-69504	19980402
AU 749167	B2	20020620		
EP 980369	A1	20000223	EP 1998-915281	19980402
EP 980369	B1	20050330		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EE 9900469	A	20000615	EE 1999-469	19980402
EE 4156	B1	20031015		
BR 9812258	A	20000725	BR 1998-12258	19980402
TR 9902401	T2	20000821	TR 1999-2401	19980402
NZ 336898	A	20011026	NZ 1998-336898	19980402
JP 2001520643	T2	20011030	JP 1998-540982	19980402
JP 3455233	B2	20031014		
TR 200101905	T2	20020621	TR 2001-200101905	19980402
TR 200202738	T2	20030321	TR 2002-200202738	19980402
JP 2003176288	A2	20030624	JP 2002-352236	19980402
EE 200300214	A	20030815	EE 2003-214	19980402
SG 100766	A1	20031226	SG 2001-200106590	19980402
SG 100767	A1	20031226	SG 2001-200106591	19980402
IL 131318	A1	20040831	IL 1998-131318	19980402
EP 1498418	A1	20050119	EP 2004-24998	19980402
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EP 1498419	A1	20050119	EP 2004-24999	19980402
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IL 156977	A1	20050320	IL 1998-156977	19980402
AT 292129	E	20050415	AT 1998-915281	19980402
CN 1636994	A	20050713	CN 2004-10092312	19980402
ES 2241133	T3	20051016	ES 1998-915281	19980402
NO 9905221	A	19991228	NO 1999-5221	19991026
MX 9909860	A	20000331	MX 1999-9860	19991027
US 6432994	B1	20020813	US 2000-403599	20000327
HK 1028033	A1	20050506	HK 2000-107366	20001117
US 2001039289	A1	20011108	US 2001-792237	20010223
US 6458805	B2	20021001		
US 2002091270	A1	20020711	US 2001-29561	20011220
US 6683103	B2	20040127		

PRIORITY APPLN. INFO.:

US	1997-847797	A2 19970428
US	1997-938444	A 19970926
CA	1998-2281090	A3 19980402
EE	1999-469	A 19980402
EP	1998-915281	A3 19980402
IL	1998-131318	A3 19980402
JP	1998-540982	A3 19980402
WO	1998-US6680	W 19980402
US	2000-403599	A3 20000327

OTHER SOURCE(S): MARPAT 135:46080

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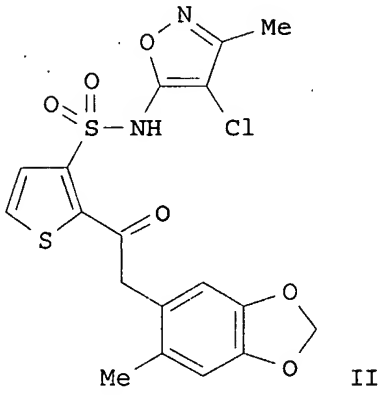
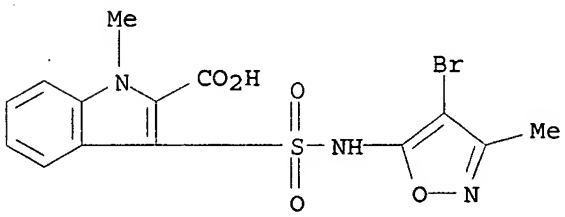


II

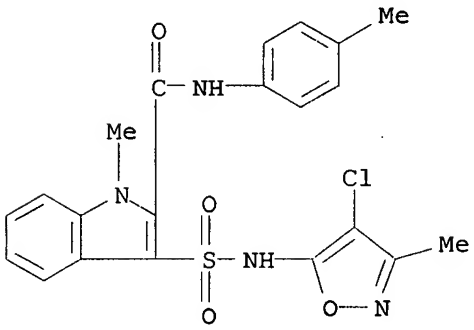
AB Formulations of pharmaceutically acceptable salts of thienyl-, furyl- and pyrrolyl-sulfonamides, and methods for modulating or altering the activity of the endothelin family of peptides using the formulations, are provided. In particular, formulations of sodium salts of N-(isoxazolyl)thienylsulfonamides, N-(isoxazolyl)furylsulfonamides and N-(isoxazolyl)pyrrolylsulfonamides, and methods using these sulfonamide salts for inhibiting the binding of an endothelin peptide to an endothelin receptor, by contacting the receptor with the sulfonamide salt, are provided. Methods for treating endothelin-mediated disorders by administering effective amts. of one or more of these sulfonamide salts or prodrugs thereof, that inhibit or increase the activity of endothelin, are also provided. In particular, pharmaceutically acceptable salts of compds. Ar2-SO2-NH-Ar1 [I; where Ar1 = 5-membered heteroaryl; Ar2 = thienyl or thionaphthyl; salt is with an alkali metal or mineral acid] are claimed. A table of approx. 300 compds. I, and over 30 detailed synthetic examples, are given. For instance, 5-methylbenzo[d][1,3]dioxole in CH2Cl2 reacted with HCl and formaldehyde in the presence of Bu4NBr to give 5-(chloromethyl)-6-methylbenzo[d][1,3]dioxole. Grignard reaction of this with N-methoxy-N-methyl-3-(4-chloro-3-methyl-5-isoxazolylsulfamoyl)-2-thiophenecarboxamide gave title compd. II, which was isolated as the free acid, dissolved in EtOAc, and treated with satd. aq. NaHCO3, to give the sodium salt II.Na in 98.2% purity. Alternatively, treatment of II with an equimolar amt. of Na2HPO4 in aq. MeCN gave the salt II.H3PO4.2Na. A soln. of II.Na and USP dextrose in phosphate buffer was filtered into vials and lyophilized, to give injectable II.Na for use at 25 mg/mL or 12.5 mg/mL. The aforementioned salts both showed improved soly. and stability in various aq. media, such as Labrasol, compared to the free acid II.

IT 187164-89-2P, N-(4-Bromo-3-methyl-5-isoxazolyl)-2-carboxy-1-methylindole-3-sulfonamide 187164-92-7P, N-(4-Chloro-3-methyl-5-isoxazolyl)-2-[[(4-tolyl)amino]carbonyl]-1-methylindole-3-sulfonamide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. and formulation of heterocyclic sulfonamides

for treatment of endothelin-mediated disorders)
RN 187164-89-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[(4-bromo-3-methyl-5-isoxazolyl)amino]sulfonyl]-1-methyl- (9CI) (CA INDEX NAME)



RN 187164-92-7 CAPLUS
CN 1H-Indole-2-carboxamide, 3-[[(4-chloro-3-methyl-5-isoxazolyl)amino]sulfonyl]-1-methyl-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 219 THERE ARE 219 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:553556 CAPLUS
DOCUMENT NUMBER: 133:150463
TITLE: Preparation of 3-substituted indole-2-carboxylic acids for the inhibition of monocyte chemoattractant protein-1 and/or RANTES induced chemotaxis
INVENTOR(S): Faull, Alan Wellington; Kettle, Jason
PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000046199	A2	20000810	WO 2000-GB284	20000131
WO 2000046199	A3	20001130		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2355734	AA	20000810	CA 2000-2355734		20000131
BR 2000008015	A	20011106	BR 2000-8015		20000131
EP 1173421	A2	20020123	EP 2000-901747		20000131
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2002536362	T2	20021029	JP 2000-597270		20000131
ZA 2001005017	A	20020919	ZA 2001-5017		20010619
NO 2001003768	A	20011001	NO 2001-3768		20010801
US 6833387	B1	20041221	US 2001-889516		20011002
PRIORITY APPLN. INFO.:			GB 1999-2455	A	19990205
			WO 2000-GB284	W	20000131

OTHER SOURCE(S): MARPAT 133:150463

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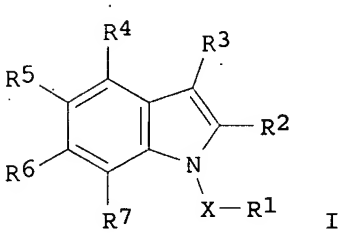
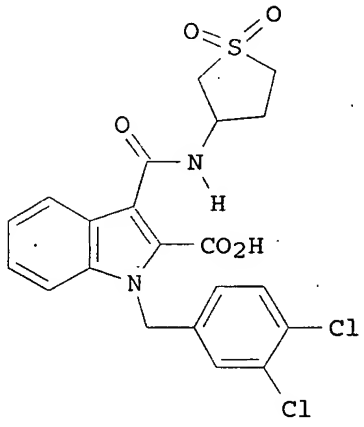


I



II

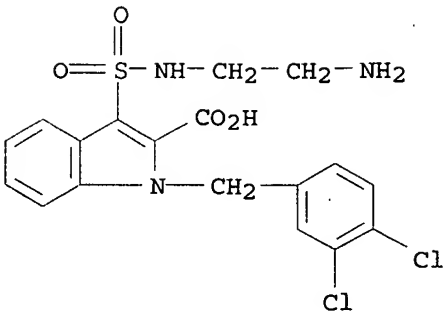
AB The title compds. [I; X = CH2, SO2; R1 = (un)substituted aryl, heteroaryl; R2 = CO2H, CN, COCH2OH, etc.; R3 = OR15 (wherein R15 = substituted alkyl or cycloalkyl, (un)substituted heteroaryl), S(O)qR15 (q = 0-2), (CH2)sCO2H (s = 0-4), etc.; R4-R7 = H, (un)substituted hydrocarbyl, heterocyclyl, etc.] and their pharmaceutically acceptable salts, amides or esters, useful in the prepn. of a medicament for the inhibition of monocyte chemoattractant protein-1 and/or RANTES induced chemotaxis, were prepd. and formulated. Thus, hydrolysis of the corresponding ester afforded 93% II which showed IC50 of 6.86 .mu.M against hMCP-1 receptor binding.

IT 287725-14-8P 287725-36-4P 287725-37-5P
287725-38-6P 287725-40-0P 287725-41-1P
287725-43-3P 287725-44-4P 287725-45-5P
287725-46-6P 287725-47-7P 287725-49-9P
287725-51-3P 287725-52-4P 287725-53-5P
287725-54-6P

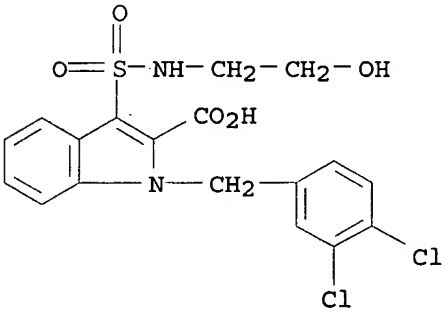
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-substituted indole-2-carboxylic acids for the inhibition of monocyte chemoattractant protein-1 and/or RANTES induced chemotaxis)

RN 287725-14-8 CAPLUS

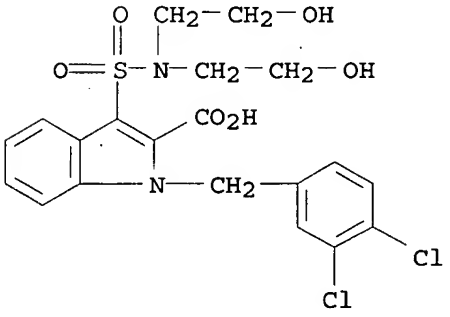
CN 1H-Indole-2-carboxylic acid, 3-[[(2-aminoethyl)amino]sulfonyl]-1-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)



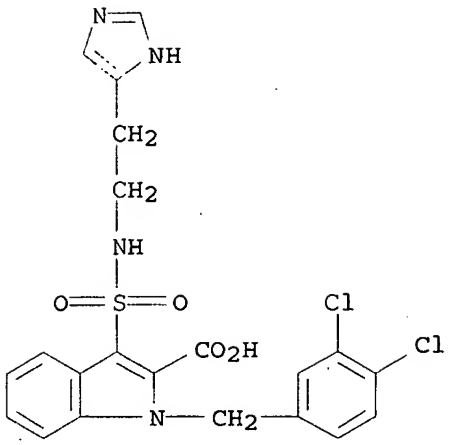
RN 287725-36-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)methyl]-3-[[(2-hydroxyethyl)amino]sulfonyl]- (9CI) (CA INDEX NAME)



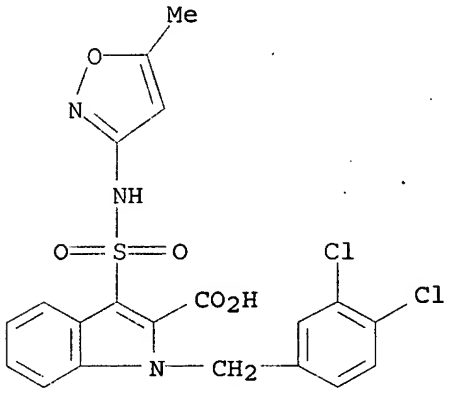
RN 287725-37-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[bis(2-hydroxyethyl)amino]sulfonyl]-1-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)



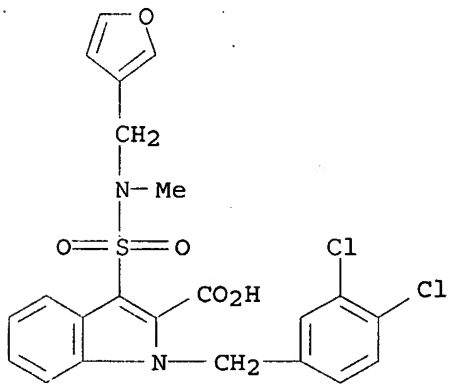
RN 287725-38-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)methyl]-3-[[[2-(1H-imidazol-4-yl)ethyl]amino]sulfonyl]- (9CI) (CA INDEX NAME)



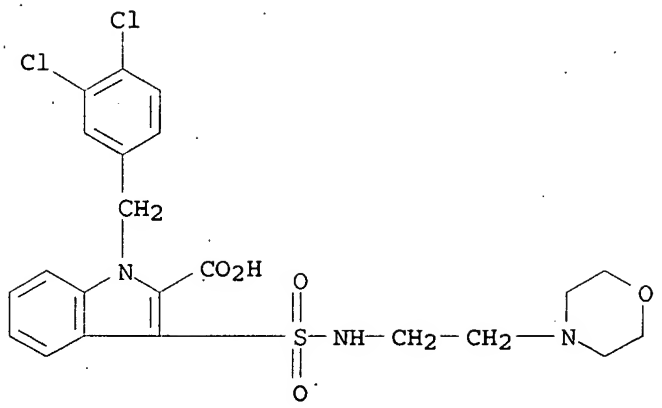
RN 287725-40-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)methyl]-3-[[(5-methyl-3-isoxazolyl)amino]sulfonyl]- (9CI) (CA INDEX NAME)



RN 287725-41-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)methyl]-3-[[(3-furanylmethyl)methylamino]sulfonyl]- (9CI) (CA INDEX NAME)



RN 287725-43-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)methyl]-3-[[[2-(4-morpholinyl)ethyl]amino]sulfonyl]- (9CI) (CA INDEX NAME)



RN 287725-44-4 CAPLUS
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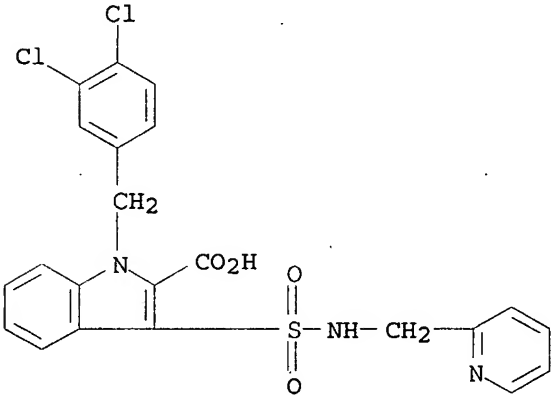
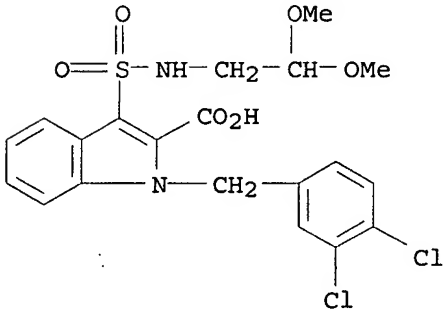


RN 287725-45-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)methyl]-3-[[(2,2-dimethoxyethyl)amino]sulfonyl]- (9CI) (CA INDEX NAME)



RN 287725-46-6 CAPLUS
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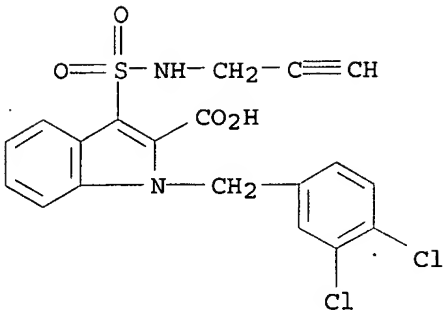

RN 287725-47-7 CAPLUS
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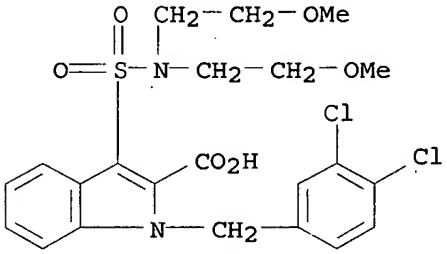

RN 287725-49-9 CAPLUS
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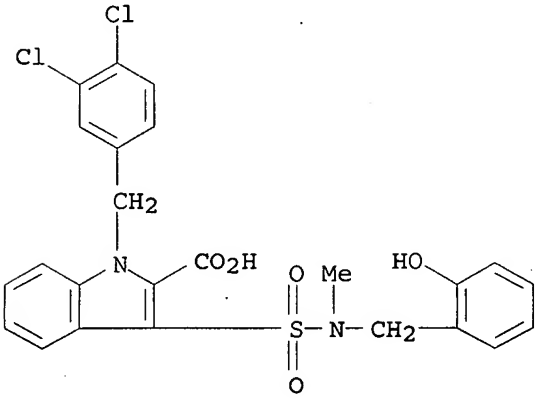
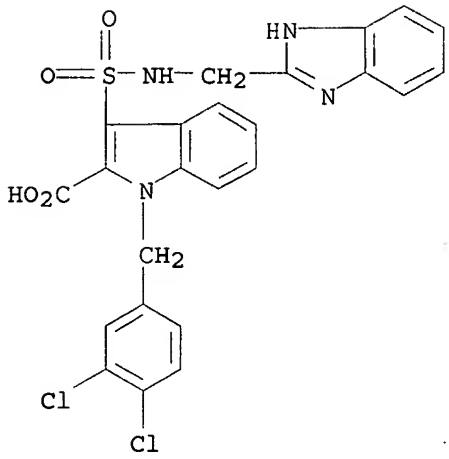
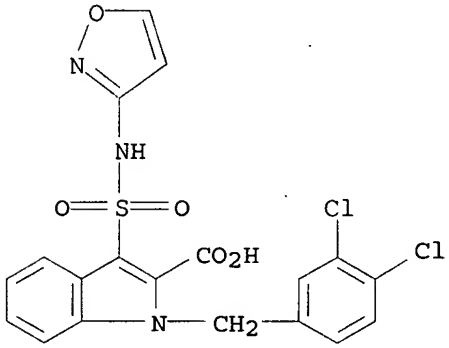


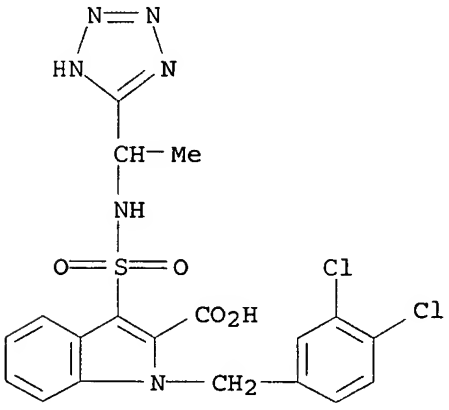
RN 287725-51-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[(1H-benzimidazol-2-ylmethyl)amino]sulfonyl]-1-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)



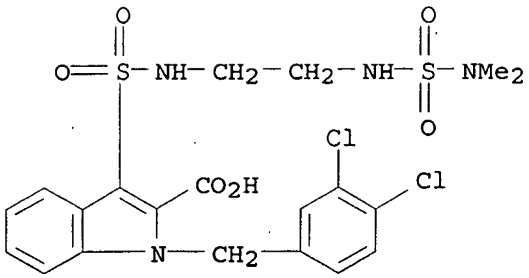
RN 287725-52-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)methyl]-3-[(3-isoxazolylamino)sulfonyl]- (9CI) (CA INDEX NAME)



RN 287725-53-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)methyl]-3-[[[1-(1H-tetrazol-5-yl)ethyl]amino]sulfonyl]- (9CI) (CA INDEX NAME)



RN 287725-54-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)methyl]-3-[[[2-[[(dimethylamino)sulfonyl]amino]ethyl]amino]sulfonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:640160 CAPLUS
DOCUMENT NUMBER: 131:271803
TITLE: Thienyl-, furyl- and pyrrolyl-sulfonamides and derivatives thereof that modulate the activity of endothelin
INVENTOR(S): Chan, Ming Fai; Wu, Chengde; Raju, Bore Gowda; Kogan, Timothy; Kois, Adam; Verner, Erik Joel; Castillo, Rosario Silvestre; Yalamorri, Venkatachalapathi; Balaji, Vitukudi Narayanaiyengar
PATENT ASSIGNEE(S): Texas Biotechnology Corp., USA
SOURCE: U.S., 82 pp., Cont.-in-part of U.S. Ser. No. 477,223.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 10
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5962490	A	19991005	US 1996-721183	19960927
US 5464853	A	19951107	US 1993-142159	19931021
US 5514691	A	19960507	US 1993-142552	19931021
US 5591761	A	19970107	US 1994-222287	19940405
US 5571821	A	19961105	US 1994-247072	19940520
US 5594021	A	19970114	US 1995-477223	19950606
WO 9631492	A1	19961010	WO 1996-US4759	19960404
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
CA 2261760	AA	19980402	CA 1997-2261760	19970926
CA 2261760	C	20050329		
WO 9813366	A1	19980402	WO 1997-US17402	19970926
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9745059	A1	19980417	AU 1997-45059	19970926
AU 736269	B2	20010726		
EP 946552	A1	19991006	EP 1997-943629	19970926
EP 946552	B1	20040707		
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CN 1231664		A	19991013	CN 1997-198343	19970926
BR 9711550		A	20000118	BR 1997-11550	19970926
JP 2000507607		T2	20000620	JP 1998-515979	19970926
JP 3743520		B2	20060208		
NZ 334797		A	20010223	NZ 1997-334797	19970926
US 6420567		B1	20020716	US 1997-938325	19970926
JP 2002308875		A2	20021023	JP 2002-101613	19970926
EP 1342721		A1	20030910	EP 2003-7240	19970926
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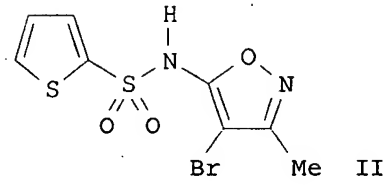
AT 270669		E	20040715	AT 1997-943629	19970926
CN 1530366		A	20040922	CN 2003-2003158478	19970926
PT 946552		T	20041029	PT 1997-943629	19970926
ES 2224271		T3	20050301	ES 1997-943629	19970926
NO 9901388		A	19990527	NO 1999-1388	19990322
US 6331637		B1	20011218	US 1999-274280	19990322
KR 2000048681		A	20000725	KR 1999-702629	19990326
AU 9935803		A1	19990916	AU 1999-35803	19990622
AU 726595		B2	20001116		
US 2002091272		A1	20020711	US 2001-11610	20011105
US 6632829		B2	20031014		
US 2003208084		A1	20031106	US 2003-447763	20030528

PRIORITY APPLN. INFO.:

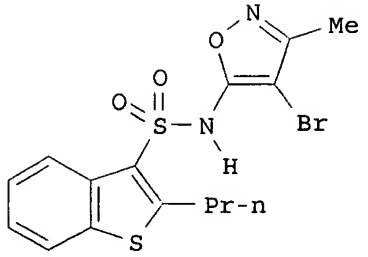
US 1987-100865	A2	19870925
US 1990-416199	A2	19900515
US 1993-65202	B2	19930520
US 1993-100125	B2	19930730
US 1993-100565	A2	19930730
US 1993-142159	A2	19931021
US 1993-142552	A2	19931021
US 1993-142631	B2	19931021
US 1994-222287	A2	19940405
US 1994-247072	A2	19940520
US 1995-417075	A2	19950404
US 1995-477223	A2	19950606
WO 1996-US4759	A2	19960404
US 1995-416199	A	19950404
AU 1996-55367	A	19960404
US 1996-721183	A	19960927
EP 1997-943629	A3	19970926
JP 1998-515979	A3	19970926
US 1997-938325	A3	19970926
WO 1997-US17402	W	19970926
US 2001-11610	A3	20011105

OTHER SOURCE(S): MARPAT 131:271803

GI



II



III

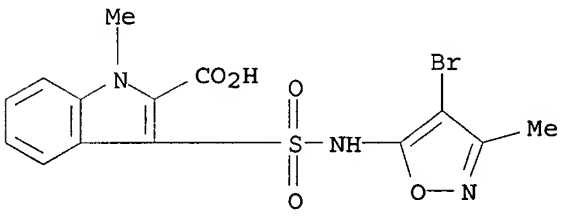
AB Thienyl-, furyl- and pyrrolyl-sulfonamides, and methods for modulating or altering the activity of the endothelin family of peptides, are provided. In particular, the disclosure includes N-(isoxazolyl)thienylsulfonamides, N-(isoxazolyl)furylsulfonamides, and N-(isoxazolyl)pyrrolylsulfonamides,

and methods using these sulfonamides for inhibiting the binding of an endothelin peptide to an endothelin receptor. The compds. are described by the formula Ar2SO2NHAr1 [I; Ar1 = (un)substituted aryl, particularly isoxazolyl; Ar2 = biol. effective group for inhibiting endothelin binding by .gtoreq. 50% at .ltoreq.100 .mu.M, notably thienyl, furyl, pyrrolyl, etc.]. Methods for treating endothelin-mediated disorders by administering effective amts. of I or their prodrugs are also provided. Such disorders include hypertension, cardiovascular disease, asthma, hypertension, inflammatory disease, glaucoma, etc. Approx. 190 synthetic examples are given, and numerous example compds. were prepd., tested, and/or claimed. For instance, 5-amino-4-bromo-3-methylisoxazole was treated with NaH in THF, followed by thiophene-2-sulfonyl chloride, to give 34% title compd. II. The similarly prepd. title compd. III had IC50 values of 0.024 .mu.M for ETA receptors and 7.95 .mu.M for ETB receptors, indicating substantial selectivity for ETA.

IT 187164-89-2P, N-(4-Bromo-3-methyl-5-isoxazolyl)-2-carboxy-1-methylindole-3-sulfonamide 187164-92-7P, N-(4-Chloro-3-methyl-5-isoxazolyl)-2-[[(4-tolyl)amino]carbonyl]-1-methylindole-3-sulfonamide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. of thienyl-, furyl- and pyrrolyl-based sulfonamides and analogs as endothelin agonists and antagonists)

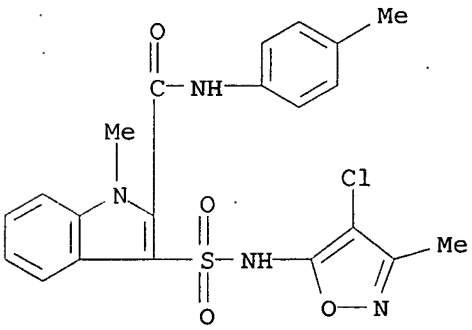
RN 187164-89-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-[[(4-bromo-3-methyl-5-isoxazolyl)amino]sulfonyl]-1-methyl- (9CI) (CA INDEX NAME)



RN 187164-92-7 CAPLUS

CN 1H-Indole-2-carboxamide, 3-[[(4-chloro-3-methyl-5-isoxazolyl)amino]sulfonyl]-1-methyl-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:721695 CAPLUS
DOCUMENT NUMBER: 129:343488

TITLE: Preparation of heteroaromatic sulfonamides as endothelin antagonists

INVENTOR(S): Wu, Chengde; Blok, Natalie; Kogan, Timothy; Keller, Karin; Woodard, Patricia

PATENT ASSIGNEE(S): Texas Biotechnology Corp., USA

SOURCE: PCT Int. Appl., 205 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9849162	A1	19981105	WO 1998-US6680	19980402
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5783705	A	19980721	US 1997-847797	19970428
US 6248767	B1	20010619	US 1997-938444	19970926
CA 2281090	AA	19981105	CA 1998-2281090	19980402
CA 2281090	C	20050607		
AU 9869504	A1	19981124	AU 1998-69504	19980402
AU 749167	B2	20020620		
EP 980369	A1	20000223	EP 1998-915281	19980402
EP 980369	B1	20050330		
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EE 9900469	A	20000615	EE 1999-469	19980402
EE 4156	B1	20031015		
BR 9812258	A	20000725	BR 1998-12258	19980402
NZ 336898	A	20011026	NZ 1998-336898	19980402
JP 2001520643	T2	20011030	JP 1998-540982	19980402
JP 3455233	B2	20031014		
IL 131318	A1	20040831	IL 1998-131318	19980402
IL 156977	A1	20050320	IL 1998-156977	19980402
AT 292129	E	20050415	AT 1998-915281	19980402
NO 9905221	A	19991228	NO 1999-5221	19991026
MX 9909860	A	20000331	MX 1999-9860	19991027
US 6432994	B1	20020813	US 2000-403599	20000327
HK 1028033	A1	20050506	HK 2000-107366	20001117

PRIORITY APPLN. INFO.:			
US 1997-847797	A	19970428	
US 1997-938444	A	19970927	
IL 1998-131318	A3	19980402	
WO 1998-US6680	W	19980402	

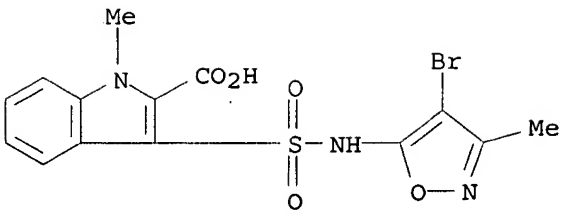
OTHER SOURCE(S): MARPAT 129:343488

AB R2SO2NHR1 [I; R1 = bi- or tricycloalkyl, heterocyclyl, (hetero)aryl; R2 = CH:CHPh, thienyl, (iso)quinolyl, indolyl, etc.] were prepd. Thus, 5-amino-4-bromo-3-methylisoxazole was amidated by thiophene-2-sulfonyl chloride to give I (R1 = 4-bromo-3-methyl-5-isoxazolyl, R2 = 2-thienyl). Data for biol. activity of I were given.

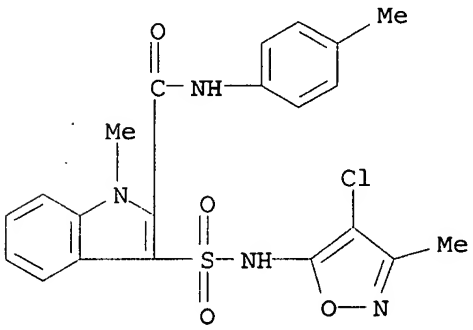
IT 187164-89-2P 187164-92-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heteroarom. sulfonamides as endothelin antagonists)

RN 187164-89-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-[[(4-bromo-3-methyl-5-isoxazolyl)amino]sulfonyl]-1-methyl- (9CI) (CA INDEX NAME)



RN 187164-92-7 CAPLUS
CN 1H-Indole-2-carboxamide, 3-[[(4-chloro-3-methyl-5-isoxazolyl)amino]sulfonyl]-1-methyl-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

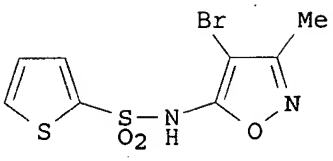
L4 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:97729 CAPLUS
DOCUMENT NUMBER: 126:171477
TITLE: Thienyl-, furyl- and pyrrolyl sulfonamides and derivatives thereof that modulate the activity of endothelin
INVENTOR(S): Chan, Ming F.; Raju, Bore G.; Kois, Adam; Verner, Erik J.; Wu, Chengde; Castillo, Rosario S.; Yalamoori, Venkatachalapathi; Balaji, Vitukudi N.
PATENT ASSIGNEE(S): Texas Biotechnology Corporation, USA
SOURCE: U.S., 77 pp., Cont.-in-part of U.S. Ser. No. 247,072.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 10
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5594021	A	19970114	US 1995-477223	19950606
US 5464853	A	19951107	US 1993-142159	19931021
US 5514691	A	19960507	US 1993-142552	19931021
US 5591761	A	19970107	US 1994-222287	19940405
US 5571821	A	19961105	US 1994-247072	19940520
CA 2217169	AA	19961010	CA 1996-2217169	19960404
CA 2217169	C	20050329		
CA 2288439	AA	19961010	CA 1996-2288439	19960404
CA 2288439	C	20030401		
CA 2420614	AA	19961010	CA 1996-2420614	19960404

WO 9631492	A1	19961010	WO 1996-US4759		19960404
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI					
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN					
AU 9655367	A1	19961023	AU 1996-55367		19960404
AU 711968	B2	19991028			
EP 819125	A1	19980121	EP 1996-912600		19960404
EP 819125	B1	20030618			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI					
CN 1184470	A	19980610	CN 1996-193973		19960404
CN 1130355	B	20031210			
JP 11507015	T2	19990622	JP 1996-530524		19960404
JP 3233642	B2	20011126			
NZ 306734	A	20000128	NZ 1996-306734		19960404
NZ 500282	A	20000128	NZ 1996-500282		19960404
EP 1048657	A1	20001102	EP 2000-113076		19960404
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI					
JP 2002030075	A2	20020129	JP 2001-171692		19960404
JP 3527217	B2	20040517			
AT 243203	E	20030715	AT 1996-912600		19960404
PT 819125	T	20031128	PT 1996-912600		19960404
ES 2201181	T3	20040316	ES 1996-912600		19960404
PL 186854	B1	20040331	PL 1996-322707		19960404
US 5962490	A	19991005	US 1996-721183		19960927
TW 492966	B	20020701	TW 1996-85112218		19961004
NO 9704577	A	19971204	NO 1997-4577		19971003
NO 315607	B1	20030929			
MX 9707630	A	20000331	MX 1997-7630		19971003
HK 1001769	A1	20040130	HK 1998-100844		19980205
US 6331637	B1	20011218	US 1999-274280		19990322
AU 9935803	A1	19990916	AU 1999-35803		19990622
AU 726595	B2	20001116			
US 2002095041	A1	20020718	US 2001-6256		20011204
US 6613804	B2	20030902			
JP 2004043495	A2	20040212	JP 2003-318261		20030910
PRIORITY APPLN. INFO.:			US 1993-65202	B2	19930520
			US 1993-100125	B2	19930730
			US 1993-100565	B2	19930730
			US 1993-142159	A2	19931021
			US 1993-142552	A2	19931021
			US 1993-142631	B2	19931021
			US 1994-222287	A2	19940405
			US 1994-247072	A2	19940520
			US 1995-417075	B2	19950404
			US 1987-100865	A2	19870925
			US 1990-416199	A2	19900515
			US 1995-416199	A	19950404
			US 1995-477223	A	19950606
			AU 1996-55367	A	19960404
			CA 1996-2217169	A3	19960404
			EP 1996-912600	A3	19960404
			JP 1996-530524	A3	19960404
			JP 2001-171692	A3	19960404
			WO 1996-US4759	W	19960404
			US 1996-721183	A1	19960927
			US 1997-913331	A3	19971107

OTHER SOURCE(S): MARPAT 126:171477

GI



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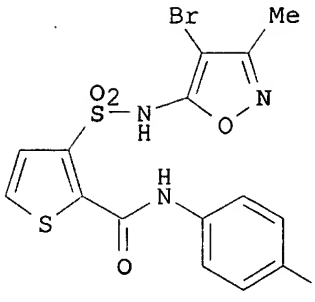


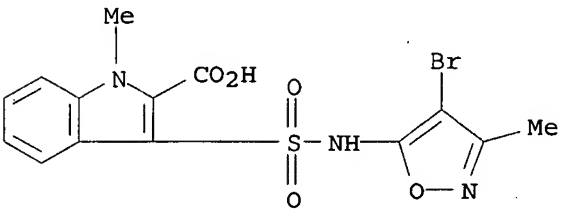
III

AB Thienyl-, furyl- and pyrrolyl-sulfonamides and methods for modulating or altering the activity of the endothelin family of peptides are provided. The compds. include sulfonamides Ar2SO2NHAr1 [I; Ar1 = (un)substituted (cyclo)alk(en/yn)yl, aryl, heterocyclyl, bi- or tricyclyl; Ar2 = (un)substituted thienyl, furyl, pyrrolyl, benzothienyl, benzofuryl, indolyl]. In particular, N-(isoxazolyl) amides, and methods using them to inhibit binding of endothelin peptides to endothelin receptors, are provided. Methods for treating endothelin-mediated disorders by administering effective amts. of one or more compds. I, or prodrugs thereof, are also provided. Over 160 synthetic examples and the results of a variety of bioassays are given. For instance, amidation of thiophene-2-sulfonyl chloride with 5-amino-4-bromo-3-methylisoxazole after treatment of the latter with NaH in dry THF gave 34% of the amide II. In an endothelin receptor assay, the amide III had IC50 values of 0.0006 .mu.M and 1.99 .mu.M at ETA and ETB receptors, resp.

IT 187164-89-2P 187164-92-7P 187165-35-1P
187165-36-2P 187165-38-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic sulfonamides as endothelin agonists and antagonists)

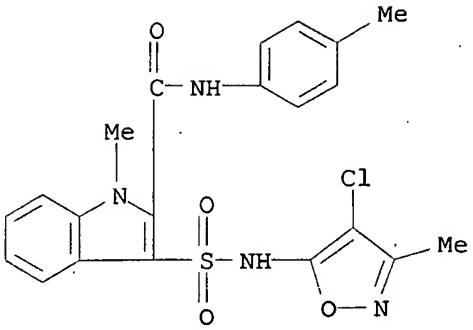
RN 187164-89-2 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-[[(4-bromo-3-methyl-5-isoxazolyl)amino]sulfonyl]-1-methyl- (9CI) (CA INDEX NAME)

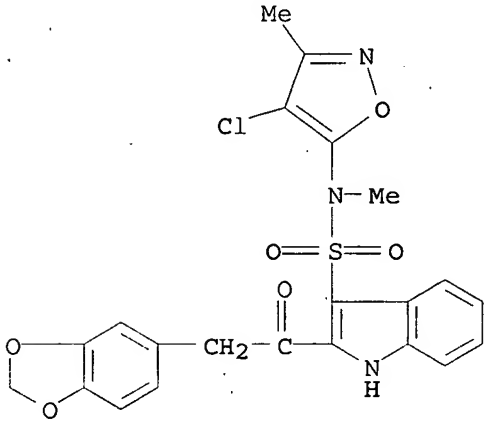


RN 187164-92-7 CAPLUS

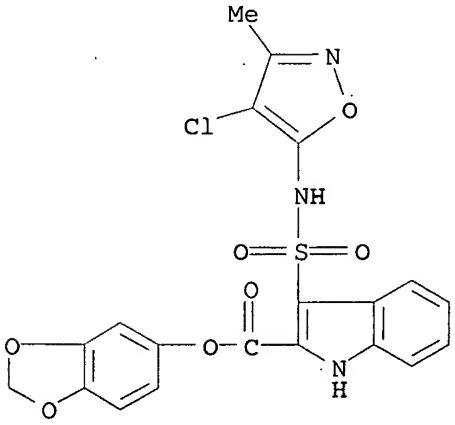
CN 1H-Indole-2-carboxamide, 3-[[(4-chloro-3-methyl-5-isoxazolyl)amino]sulfonyl]-1-methyl-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



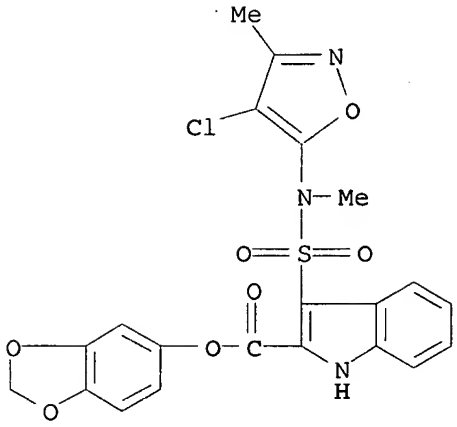
RN 187165-35-1 CAPLUS
CN 1H-Indole-3-sulfonamide, 2-(1,3-benzodioxol-5-ylacetyl)-N-(4-chloro-3-methyl-5-isoxazolyl)-N-methyl- (9CI) (CA INDEX NAME)



RN 187165-36-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[(4-chloro-3-methyl-5-isoxazolyl)amino]sulfonyl]-, 1,3-benzodioxol-5-yl ester (9CI) (CA INDEX NAME)

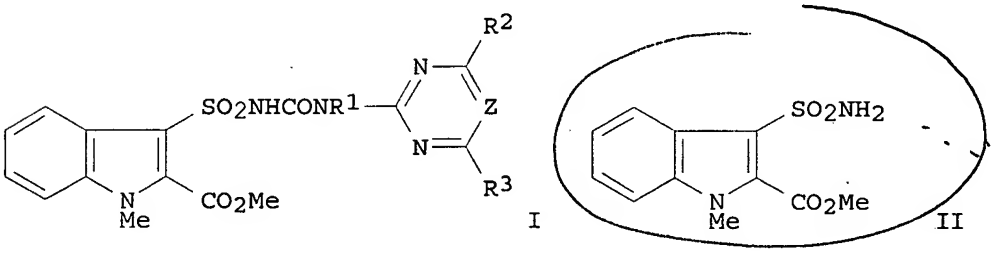


RN 187165-38-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[(4-chloro-3-methyl-5-isoxazolyl)methylamino]sulfonyl]-, 1,3-benzodioxol-5-yl ester (9CI). (CA INDEX NAME)



102(b)

L4 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:376570 CAPLUS
DOCUMENT NUMBER: 122:290806
TITLE: N-[[1-Methyl-2-(methoxycarbonyl)indol-3-yl]sulfonyl]-N'-heteroarylureas: synthesis and structure studies
AUTHOR(S): Sorokin, V. I.; Golosov, S. N.; Kornilov, A. N.; Klyuev, N, A.; Gorozhankin, S. K.; Yufit, D. S.; Struchkov, Yu. T.; Drozd, V. N.
CORPORATE SOURCE: Mosk. S-kh. Akad., Moscow, Russia
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1994), (3), 359-68
CODEN: KGSSAQ; ISSN: 0132-6244
PUBLISHER: Latviiskii Institut Organicheskogo Sinteza
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI



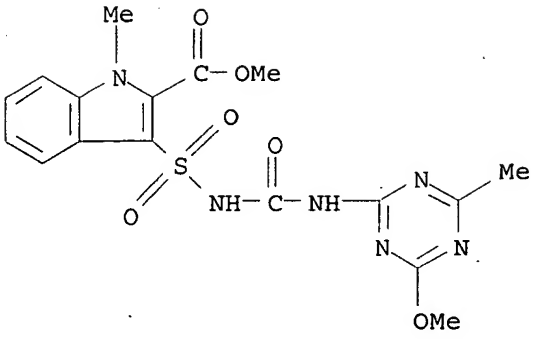
102(b)

AB Title compds. I (Z = CH, N; R1 = H, Me; R2 = Me, OMe, NHMe, NMe2; R3 = Me, F, Cl, OMe, CCl3, ON:CMe2, cyclohexylideneiminoxy) were prepd. by treatment of sulfonamide II with oxalyl chloride and reaction of the sulfonyl isocyanate obtained with pyrimidinamines and 1,3,5-triazinamines. Electron-impact and FAB mass spectra were discussed.

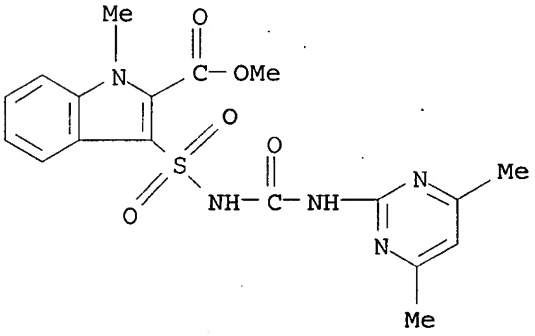
IT 85963-88-8P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and x-ray anal. of)

RN 85963-88-8 CAPLUS

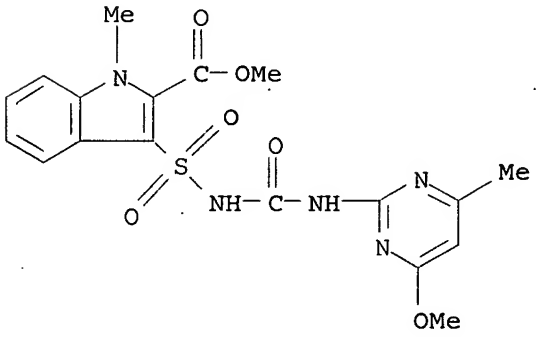
CN 1H-Indole-2-carboxylic acid, 3-[[[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino]carbonyl]amino]sulfonyl]-1-methyl-, methyl ester (9CI) (CA INDEX NAME)



IT 85953-37-3P 85953-38-4P 85953-49-7P
163125-47-1P 163125-48-2P 163125-49-3P
163125-50-6P 163125-51-7P 163125-52-8P
163125-53-9P 163125-54-0P 163125-55-1P
163125-56-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 85953-37-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[(4,6-dimethyl-2-pyrimidinyl)amino]carbonyl]amino]sulfonyl]-1-methyl-, methyl ester (9CI) (CA INDEX NAME)

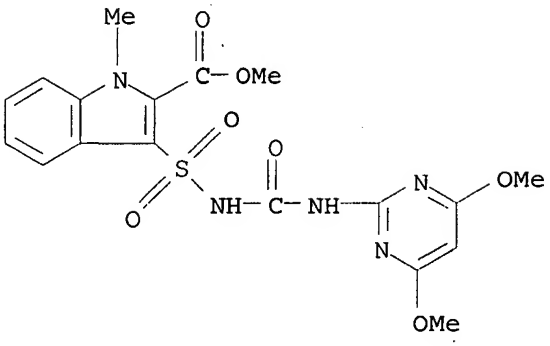


RN 85953-38-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[(4-methoxy-6-methyl-2-pyrimidinyl)amino]carbonyl]amino]sulfonyl]-1-methyl-, methyl ester (9CI) (CA INDEX NAME)

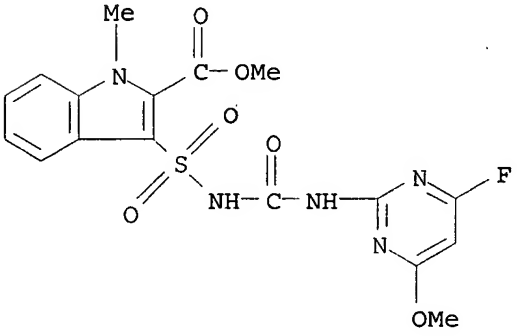


RN 85953-49-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[(4,6-dimethoxy-2-pyrimidinyl)amino]carbonyl]amino]sulfonyl]-1-methyl-, methyl ester (9CI)

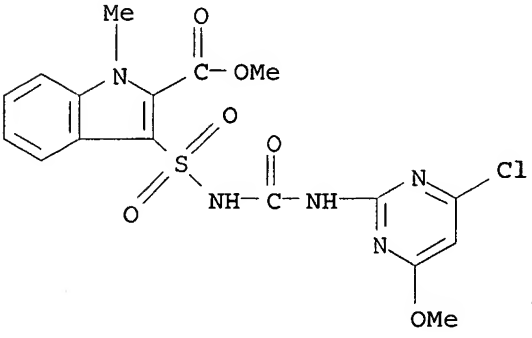
(CA INDEX NAME)



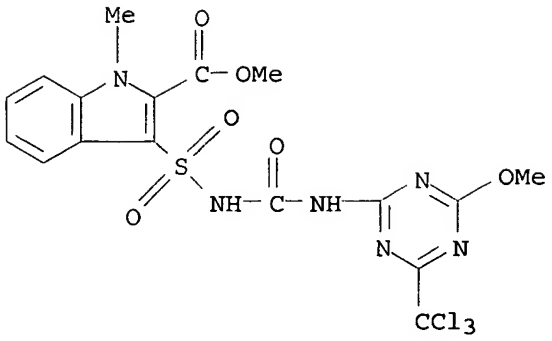
RN 163125-47-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[(4-fluoro-6-methoxy-2-pyrimidinyl)amino]carbonyl]amino]sulfonyl]-1-methyl-, methyl ester (9CI) (CA INDEX NAME)



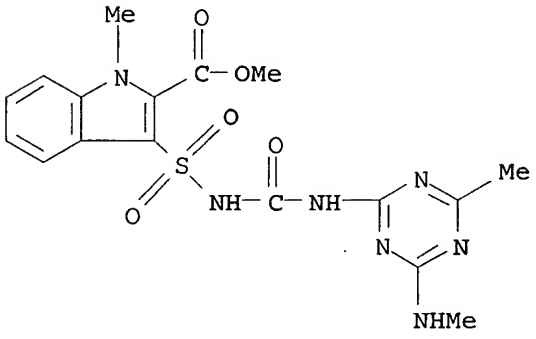
RN 163125-48-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[(4-chloro-6-methoxy-2-pyrimidinyl)amino]carbonyl]amino]sulfonyl]-1-methyl-, methyl ester (9CI) (CA INDEX NAME)



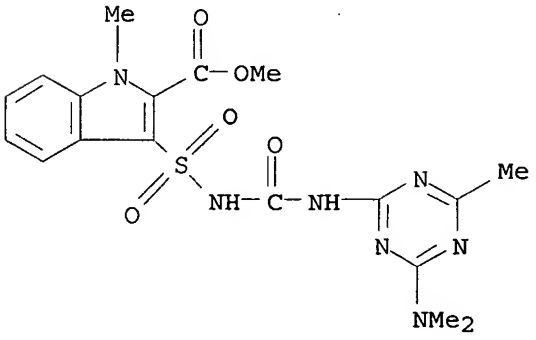
RN 163125-49-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[[4-methoxy-6-(trichloromethyl)-1,3,5-triazin-2-yl]amino]carbonyl]amino]sulfonyl]-1-methyl-, methyl ester (9CI) (CA INDEX NAME)



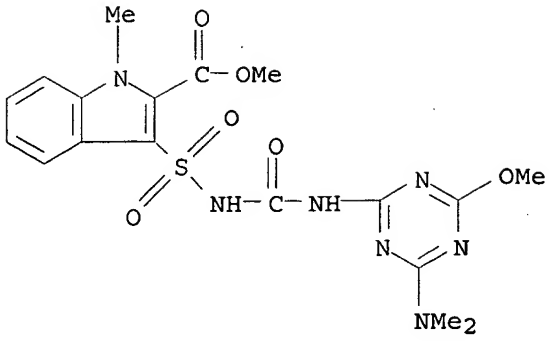
RN 163125-50-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 1-methyl-3-[[[[[4-methyl-6-(methylamino)-1,3,5-triazin-2-yl]amino]carbonyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



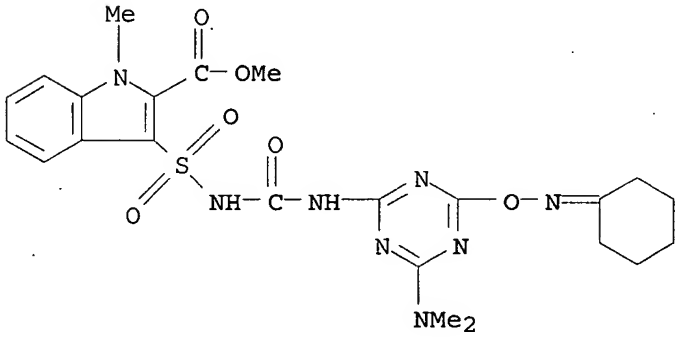
RN 163125-51-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[[4-(dimethylamino)-6-methyl-1,3,5-triazin-2-yl]amino]carbonyl]amino]sulfonyl]-1-methyl-, methyl ester (9CI) (CA INDEX NAME)



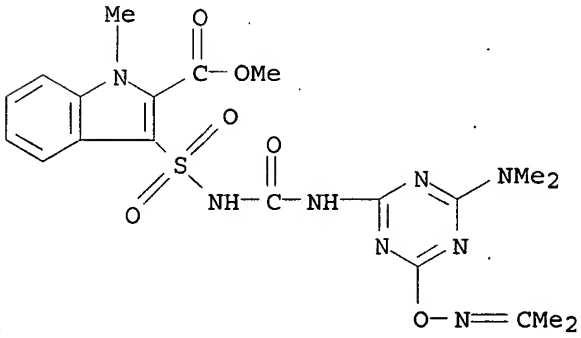
RN 163125-52-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[[4-(dimethylamino)-6-methoxy-1,3,5-triazin-2-yl]amino]carbonyl]amino]sulfonyl]-1-methyl-, methyl ester (9CI) (CA INDEX NAME)



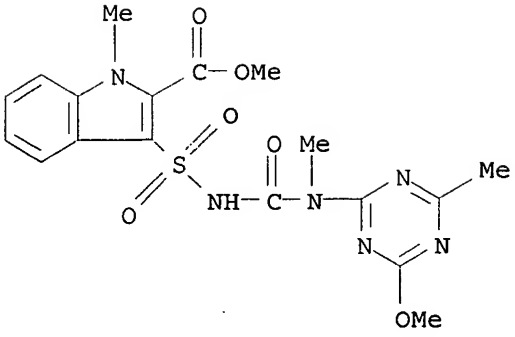
RN 163125-53-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[[4-[(cyclohexylideneamino)oxy]-6-(dimethylamino)-1,3,5-triazin-2-yl]amino]carbonyl]amino]sulfonyl]-1-methyl-, methyl ester (9CI) (CA INDEX NAME)



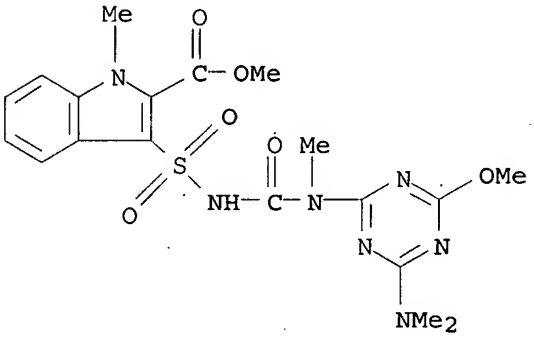
RN 163125-54-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[[4-(dimethylamino)-6-[[(1-methylethylidene)amino]oxy]-1,3,5-triazin-2-yl]amino]carbonyl]amino]sulfonyl]-1-methyl-, methyl ester (9CI) (CA INDEX NAME)



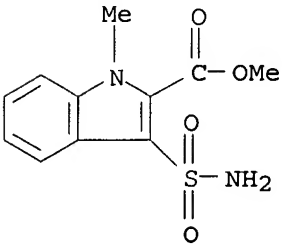
RN 163125-55-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)methylamino]carbonyl]amino]sulfonyl]-1-methyl-, methyl ester (9CI) (CA INDEX NAME)



RN 163125-56-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[[4-(dimethylamino)-6-methoxy-1,3,5-triazin-2-yl]methylamino]carbonyl]amino]sulfonyl]-1-methyl-, methyl ester (9CI) (CA INDEX NAME)



IT 3678-05-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with oxalyl chloride and heteroarylamines)
RN 3678-05-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(aminosulfonyl)-1-methyl-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:227430 CAPLUS
DOCUMENT NUMBER: 122:49104
TITLE: Preparation of herbicidal sulfonylureas.
INVENTOR(S): Zimmerman, William T.
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA
SOURCE: U.S., 45 pp. Cont.-in-part of U.S. Ser. No. 468,283.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English

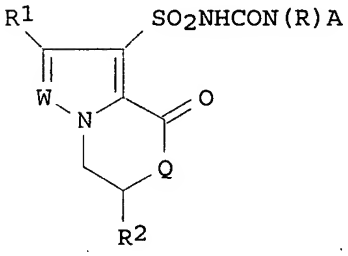
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5356862	A	19941018	US 1992-915838	19920722
WO 9110668	A1	19910725	WO 1991-US23	19910109

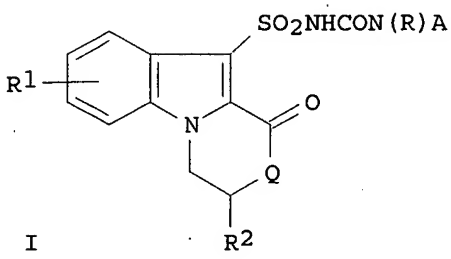
W: AU, CA, JP, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE

PRIORITY APPLN. INFO.: US 1990-468283 A2 19900122
WO 1991-US23 W 19910109

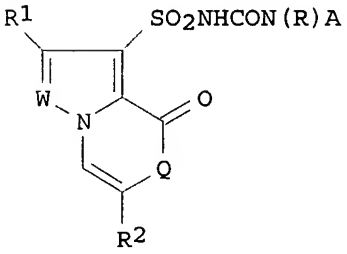
OTHER SOURCE(S): MARPAT 122:49104
GI



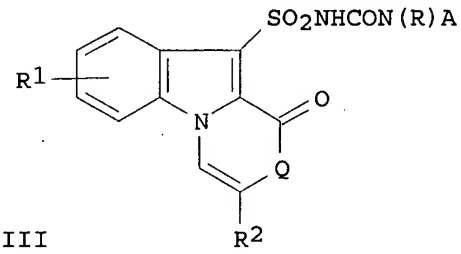
I



II



III



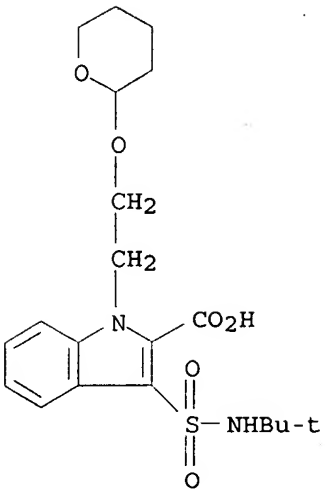
IV

AB The sulfonylurea compds. (I-IV; Q=O,S,NR3;W=CR4,N;A=(un)substituted pyrimidin-2-yl or 1,3,5-triazin-2-yl; R,R2=H,Me;R1,R4=R,Cl,Br; R3=R,haloalakyl, allyl, etc.;) are prepd. as pre- or postemergence herbicides and plant growth regulators. N-(1,1-dimethylethyl)-1-[2-[1,1-dimethylsilyloxy]ethyl]-1H-pyrrole-3-sulfonamide (prepn. given) in THF was treated, at -60.degree., with BuLi in hexane to give 3-[[(1,1-dimethylethyl)amino]sulfonyl]-1-[2-[(1,1-dimethylethyl)dimethylsilyloxy]ethyl]-1H-pyrrole-2-carboxylic acid, which upon treatment with KF in trifluoroacetic acid gave 3,4-dihydro-1-oxo-1H-pyrrolo[2,1-c][1,4]oxazine-8-sulfonamide. This was treated with Ph (4-methoxy-6-methyl-1,3,5-triazin-2-yl)carbamate, in DBU-contg. acetonitrile, to give 3,4-dihydro-N-[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino]carbonyl]-1-oxo-1H-pyrrolo[2,1-c][1,4]oxazine-8-sulfonamide. The product gave pre- and postemergence control of a variety of weeds.

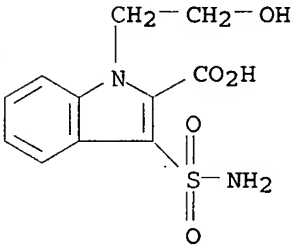
IT 136695-59-5P 136695-60-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of herbicidal sulfonylureas)

RN 136695-59-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-[[(1,1-dimethylethyl)amino]sulfonyl]-1-[2-[(tetrahydro-2H-pyran-2-yl)oxy]ethyl]- (9CI) (CA INDEX NAME)



RN 136695-60-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(aminosulfonyl)-1-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



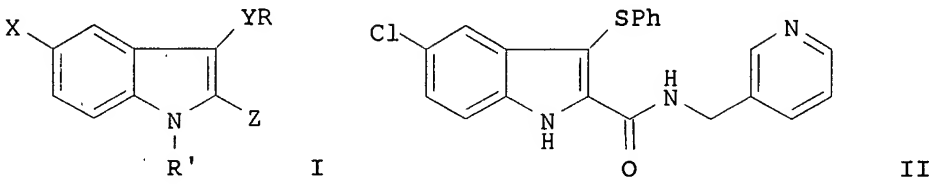
L4 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:655644 CAPLUS
DOCUMENT NUMBER: 121:255644
TITLE: Indole derivatives as inhibitors of HIV reverse transcriptase
INVENTOR(S): Williams, Theresa M.; Ciccarone, Terrence M.; Saari, Walfred S.; Wai, John S.; Greenlee, William J.; Balani, Suresh K.; Goldman, Mark E.; Hoffman, Jacob M., Jr.; Lumma, William C., Jr.; et al.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA; Theoharides, Sharon, A.
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9419321	A1	19940901	WO 1994-US1694	19940215
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, UZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2156420	AA	19940901	CA 1994-2156420	19940215
AU 9462542	A1	19940914	AU 1994-62542	19940215
BR 9405737	A	19951205	BR 1994-5737	19940215

EP 686148	A1	19951213	EP 1994-909663		19940215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
CN 1119856	A	19960403	CN 1994-191586		19940215
JP 08507067	T2	19960730	JP 1994-519119		19940215
HU 74614	A2	19970128	HU 1995-2468		19940215
PL 175788	B1	19990226	PL 1994-310410		19940215
US 5527819	A	19960618	US 1995-488957		19950607
FI 9503954	A	19950823	FI 1995-3954		19950823
NO 9503308	A	19951024	NO 1995-3308		19950823
PRIORITY APPLN. INFO.:			US 1993-21925	A	19930224
			US 1991-756013	B2	19910906
			US 1992-832260	B2	19920207
			US 1992-866765	B2	19920409
			WO 1994-US1694	W	19940215
			US 1994-274101	B1	19940711

OTHER SOURCE(S): MARPAT 121:255644

GI

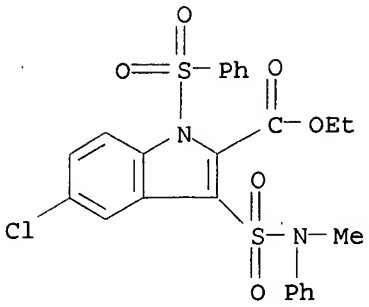


AB Novel indole compds. inhibit HIV reverse transcriptase (HIV RTR), and are useful in the prevention or treatment of infection by HIV and in the treatment of AIDS. The described compds. include I [X = H, Cl, F, Br, NO2, cyano, OH, alkoxy, (di)(alkyl)amino, alkylamido, alkylsulfonamido; Y = S, SO, SO2, O; R = (un)substituted alkyl, aryl, heterocyclyl, dialkylamino (except when Y = O); Z = (un)substituted CONH2, CSNH2, alkanoyl, alkoxycarbonyl, aminomethyl, cyano, etc.; R' = H, CHO, acyl, (un)substituted CONH2] and their salts and esters. Approx. 180 I are prepd., listed, and/or claimed. For example, 5-chloroindole-2-carboxylic acid was treated with excess NaH in DMF and then with PhSSPh to give its 3-(phenylthio) deriv., which was amidated with 3-(aminomethyl)pyridine using BOP reagent and Et3N in DMF to give title compd. II, a preferred compd. I inhibited HIV RTR in vitro with IC50 of 3-35 nM for the most preferred compds. I also inhibited viral spread of HIV in cell cultures, with 95% inhibitory concns. (CIC95) of 3-400 nM for preferred compds.

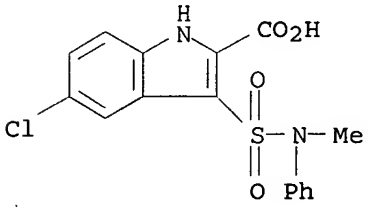
IT 158561-65-0P 158561-66-1P 158561-83-2P
158561-85-4P 158561-86-5P 158561-87-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of indole derivs. as inhibitors of HIV reverse transcriptase)

RN 158561-65-0 CAPLUS

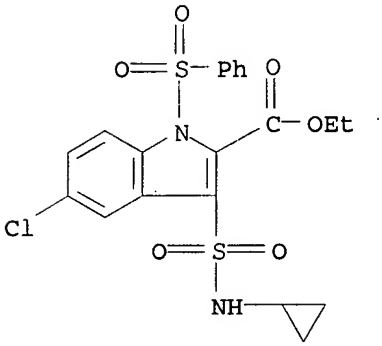
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-[(methylphenylamino)sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



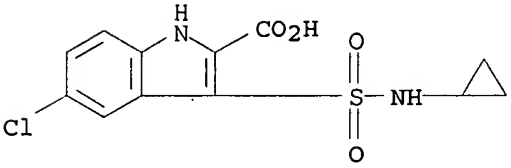
RN 158561-66-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-[(methylphenylamino)sulfonyl]-(9CI) (CA INDEX NAME)



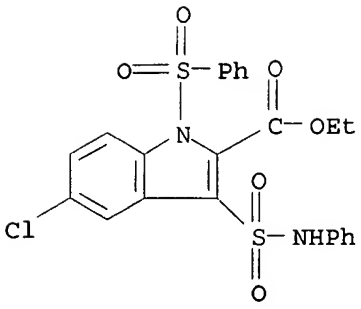
RN 158561-83-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-[(cyclopropylamino)sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



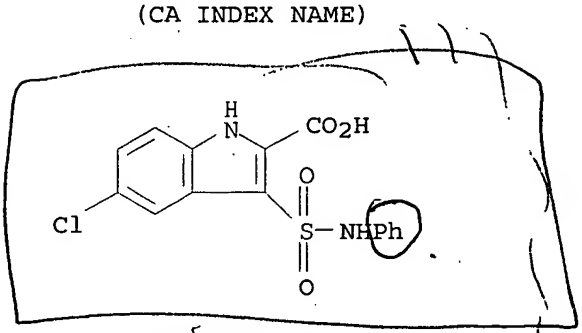
RN 158561-85-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-[(cyclopropylamino)sulfonyl]-(9CI) (CA INDEX NAME)



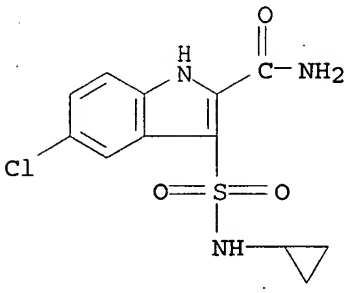
RN 158561-86-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-[(phenylamino)sulfonyl]-1-(phenylsulfonyl)-, ethyl ester (9CI) (CA INDEX NAME)



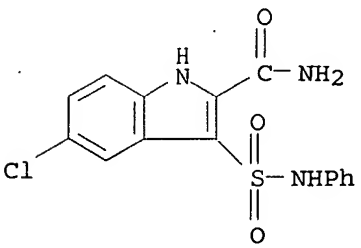
RN 158561-87-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 5-chloro-3-[(phenylamino)sulfonyl]- (9CI) (CA INDEX NAME)



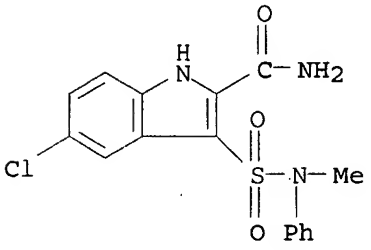
IT 158561-72-9P 158561-73-0P 158561-74-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indole derivs. as inhibitors of HIV reverse transcriptase)
RN 158561-72-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[(cyclopropylamino)sulfonyl]- (9CI) (CA INDEX NAME)



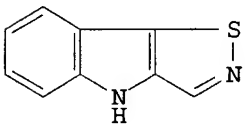
RN 158561-73-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[(phenylamino)sulfonyl]- (9CI) (CA INDEX NAME)



RN 158561-74-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[(methylphenylamino)sulfonyl]- (9CI) (CA INDEX NAME)

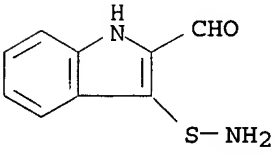


L4 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:408939 CAPLUS
DOCUMENT NUMBER: 121:8939
TITLE: Synthesis of isobrassilexin, a biologically active isomer of brassilexin, a Cruciferae phytoalexin
AUTHOR(S): Barbier, Michel; Devys, Michel; Tempete, Christiane; Kollmann, Albert; Bousquet, Francois
CORPORATE SOURCE: Inst. Chim. Subst. Nat., CNRS, Gif-sur-Yvette, 91198, Fr.
SOURCE: Synthetic Communications (1993), 23(22), 3109-17
CODEN: SYNCAV; ISSN: 0039-7911
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB The synthesis of isobrassilexin (I), a non- natural isothiazoloindole is reported (two steps, 43% yield). I, an isomer of brassilexin has fungicidal and neoplasm-inhibiting activities in vitro.
IT 155496-36-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclization in synthesis of isobrassilexin)
RN 155496-36-9 CAPLUS
CN 1H-Indole-3-sulfenamide, 2-formyl- (9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:608025 CAPLUS
DOCUMENT NUMBER: 115:208025
TITLE: Preparation of herbicidal sulfonylureas

INVENTOR(S): Zimmerman, William Thomas
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA
SOURCE: PCT Int. Appl., 202 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9110668	A1	19910725	WO 1991-US23	19910109
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2074163	AA	19910723	CA 1991-2074163	19910109
AU 9171655	A1	19910805	AU 1991-71655	19910109
EP 511993	A1	19921111	EP 1991-902615	19910109
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05503518	T2	19930610	JP 1991-502961	19910109
US 5356862	A	19941018	US 1992-915838	19920722
PRIORITY APPLN. INFO.:			US 1990-468283 A2	19900122
			WO 1991-US23 A	19910109

OTHER SOURCE(S): MARPAT 115:208025
GI

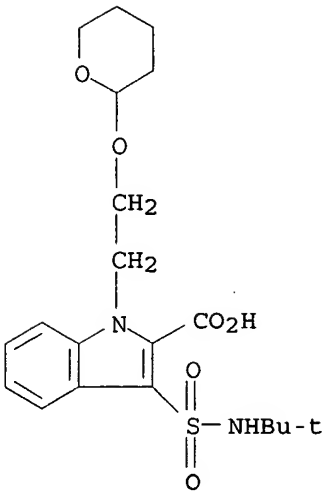
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. LSO2NHCONAR [I; L = Q1-Q3, etc.; A = Q4,Q5, etc.; R,R2 = H, Me; R4,R4 = H, Me, Cl, Br; W = CR4, N; Z1 = O, S, NR5; Z2 = O, NR5; Z2 = O, NR5; R5 = H, C1-4 (halo)alkyl, allyl, propargyl, C2-4 alkoxyalkyl; X = H, C1-4 alkyl, C1-4 alkoxy, halo, etc.; Y = H, C1-4 alkyl, C1-4 alkoxy, C3-5 cycloalkyl, cyano, etc.; Z = CH, N, CMe, CEt, CCl, CBr; X1 = Me, OMe, OEt, OCF2H; Y1 = O, CH2] were prepd. as herbicides. Thus, N-tert-butyl-1H-pyrrole-3-sulfonamide (prepn. from 3-bromo-N-triisopropylsilylpyrrole given) was N-alkylated by Me3CSi(Me)2OCH2CH2Br and the product was lithiated then treated with CO2 to give the 2-carboxy compd. This was treated with a mixt. of KF, H2O and CF3CO2H to give the deprotected product, which was cyclized by TosOH to give 3,4-dihydro-1-oxo-1H-pyrrolo[2,1-c][1,4]oxazine-8-sulfonamide. This was condensed with Ph (4-methoxy-6-methyl-1,3,5-triazin-2-yl)carbamate to give title compd. II. II at 16 g/ha postemergent gave complete control of Bromus tectorum and Setaria viridis.

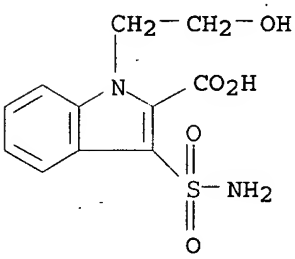
IT 136695-59-5P 136695-60-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for herbicides)

RN 136695-59-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-[[(1,1-dimethylethyl)amino]sulfonyl]-1-[2-[(tetrahydro-2H-pyran-2-yl)oxy]ethyl]- (9CI) (CA INDEX NAME)



RN 136695-60-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(aminosulfonyl)-1-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



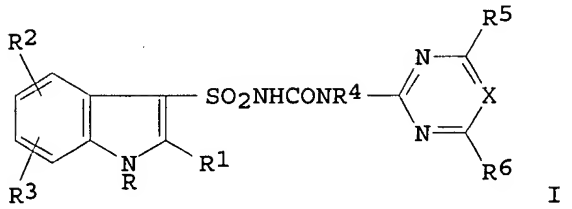
L4 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1983:405650 CAPLUS
DOCUMENT NUMBER: 99:5650
TITLE: Herbicidal indolesulfonamides
INVENTOR(S): Zimmerman, Donna Frieze
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co. , USA
SOURCE: Eur. Pat. Appl., 82 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 70698	A1	19830126	EP 1982-303730	19820715
EP 70698	B1	19851113		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
BR 8204028	A	19830705	BR 1982-4028	19820712
JP 58018358	A2	19830202	JP 1982-120709	19820713
DK 8203191	A	19830117	DK 1982-3191	19820715
AU 8286031	A1	19830224	AU 1982-86031	19820715
AU 550321	B2	19860320		
ES 514039	A1	19831201	ES 1982-514039	19820715
ZA 8205054	A	19840229	ZA 1982-5054	19820715
CA 1166249	A1	19840424	CA 1982-407344	19820715
HU 30918	O	19840428	HU 1982-2303	19820715

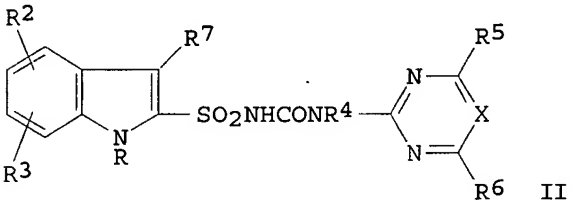
CS 236486	B2	19850515	CS 1982-5445		19820715
AT 16491	E	19851115	AT 1982-303730		19820715
US 4764610	A	19880816	US 1986-911420		19860925
US 4836846	A	19890606	US 1988-179558		19880408
PRIORITY APPLN. INFO.:			US 1981-283928	A	19810716
			US 1982-382876	A	19820601
			EP 1982-303730	A	19820715
			US 1984-671071	A1	19841113
			US 1986-911420	A3	19860925

OTHER SOURCE(S): CASREACT 99:5650; MARPAT 99:5650

GI



I



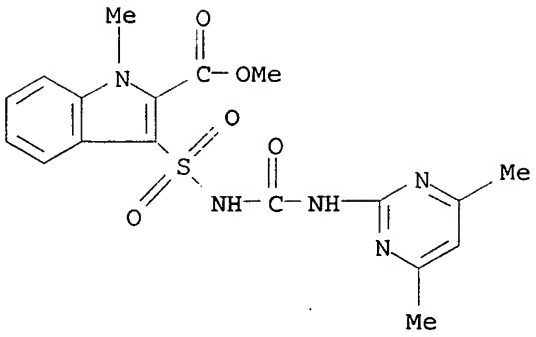
II

AB Indolesulfonamides I and II [X = N, CH; R = H, alkyl, SO2Ph; R1 = H, alkyl, (un)esterified CO2H, carbamoyl, acyl, alkylsulfonyl, sulfamoyl; R2 = H, F, Cl, Br, alkyl, alkoxy, NO2; R3 = H, Cl, Br; R4 = H, Me; R5 = Me, OMe; R6 = Me, OMe, OEt, CH2OMe, Cl, H, Et, NMe2; R7 = H, (un)substituted alkyl, alkylsulfonyl, sulfamoyl] were prepd. Thus Me 1-methyl-1H-2-indolecarboxylate was treated with ClSO2NCO and 2-amino-4,6-dimethylpyrimidine to give I (X = CH, R = R5 = R6 = Me, R1 = CO2Me, R2-R4 = H) which at 0.4 kg/ha pre-emergence gave 100% control of e.g. nutsedge.

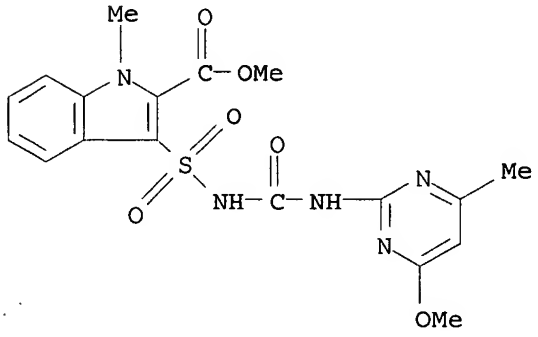
IT 85953-37-3P 85953-38-4P 85953-45-3P
85953-46-4P 85953-47-5P 85953-48-6P
85963-87-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and herbicidal activity of)

RN 85953-37-3 CAPLUS

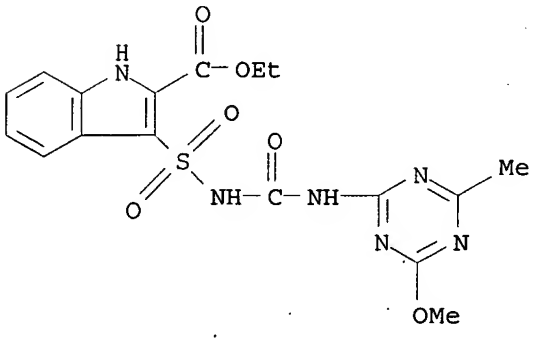
CN 1H-Indole-2-carboxylic acid, 3-[[[[(4,6-dimethyl-2-pyrimidinyl)amino]carbonyl]amino]sulfonyl]-1-methyl-, methyl ester (9CI) (CA INDEX NAME)



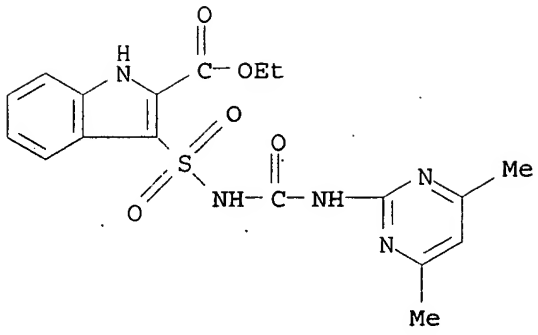
RN 85953-38-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[(4-methoxy-6-methyl-2-pyrimidinyl)amino]carbonyl]amino]sulfonyl]-1-methyl-, methyl ester (9CI) (CA INDEX NAME)



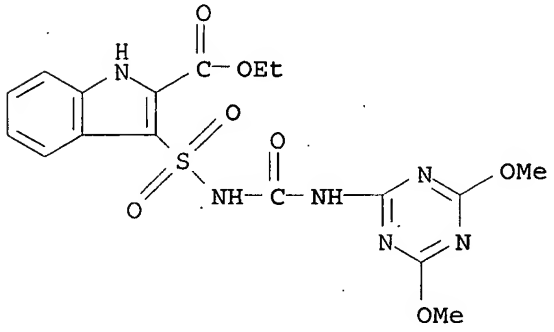
RN 85953-45-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino]carbonyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



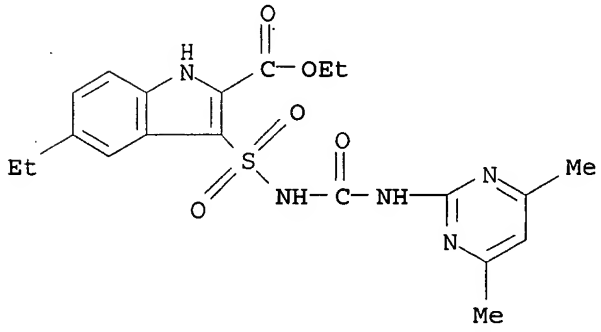
RN 85953-46-4 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[(4,6-dimethyl-2-pyrimidinyl)amino]carbonyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



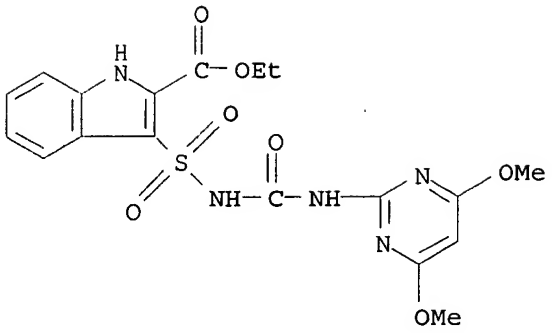
RN 85953-47-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[(4,6-dimethoxy-1,3,5-triazin-2-yl)amino]carbonyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



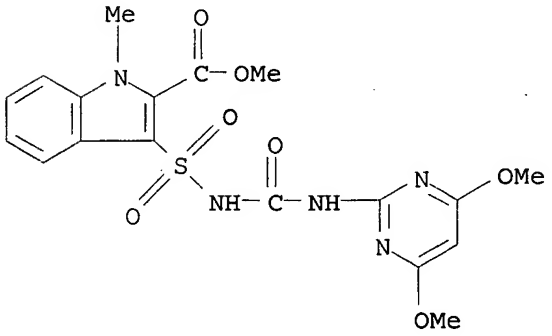
RN 85953-48-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[(4,6-dimethyl-2-pyrimidinyl)amino]carbonyl]amino]sulfonyl]-5-ethyl-, ethyl ester (9CI) (CA INDEX NAME)



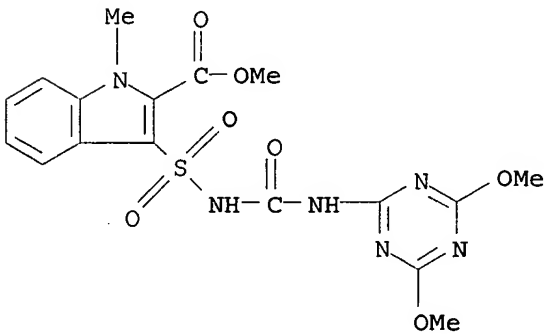
RN 85963-87-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[(4,6-dimethoxy-2-pyrimidinyl)amino]carbonyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



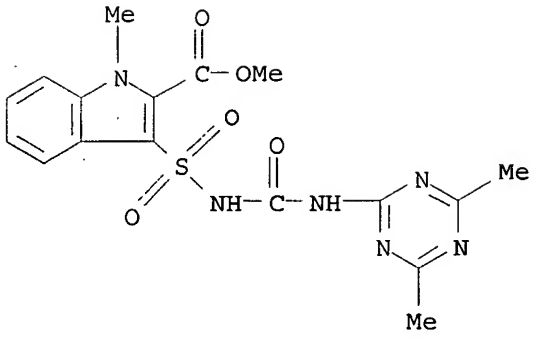
IT 85953-49-7P 85953-50-0P 85953-51-1P
85963-86-6P 85963-88-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 85953-49-7 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[(4,6-dimethoxy-2-pyrimidinyl)amino]carbonyl]amino]sulfonyl]-1-methyl-, methyl ester (9CI) (CA INDEX NAME)



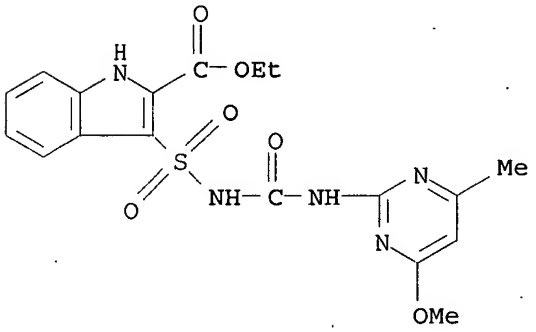
RN 85953-50-0 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[(4,6-dimethoxy-1,3,5-triazin-2-yl)amino]carbonyl]amino]sulfonyl]-1-methyl-, methyl ester (9CI) (CA INDEX NAME)



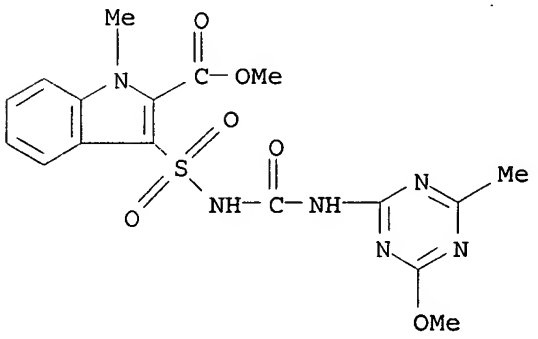
RN 85953-51-1 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[(4,6-dimethyl-1,3,5-triazin-2-yl)amino]carbonyl]amino]sulfonyl]-1-methyl-, methyl ester (9CI) (CA INDEX NAME)



RN 85963-86-6 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[(4-methoxy-6-methyl-2-pyrimidinyl)amino]carbonyl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 85963-88-8 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-[[[[(4-methoxy-6-methyl-1,3,5-triazin-2-yl)amino]carbonyl]amino]sulfonyl]-1-methyl-, methyl ester (9CI) (CA INDEX NAME)



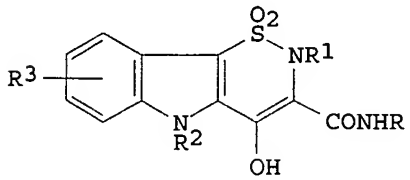
L4 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1978:563592 CAPLUS
DOCUMENT NUMBER: 89:163592
TITLE: 2,5-Dihydro-1,2-thiazino[5,6-b]indole-3-carboxamide 1,1-dioxides
INVENTOR(S): Trummlitz, Guenter; Engel, Wolfhard; Seeger, Ernst; Haarmann, Walter; Engelhardt, Guenther
PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 74 pp.

CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2704485	A1	19780810	DE 1977-2704485	19770203
SE 7714833	A	19780804	SE 1977-14833	19771228
SE 436749	B	19850121		
SE 436749	C	19850502		
AT 7800111	A	19790815	AT 1978-111	19780109
AT 355585	B	19800310		
US 4137313	A	19790130	US 1978-872889	19780127
SU 654173	D	19790325	SU 1978-2571747	19780130
CS 194195	P	19791130	CS 1978-650	19780131
FI 7800324	A	19780804	FI 1978-324	19780201
FI 62097	B	19820730		
FI 62097	C	19821110		
DD 134767	C	19790321	DD 1978-203510	19780201
HU 175550	P	19800828	HU 1978-TO1069	19780201
IL 53948	A1	19801026	IL 1978-53948	19780201
BE 863588	A1	19780802	BE 1978-184854	19780202
DK 7800484	A	19780804	DK 1978-484	19780202
DK 150517	B	19870316		
DK 150517	C	19871019		
NO 7800370	A	19780804	NO 1978-370	19780202
NO 148490	B	19830711		
NO 148490	C	19831019		
NL 7801183	A	19780807	NL 1978-1183	19780202
JP 53098998	A2	19780829	JP 1978-11044	19780202
JP 61011235	B4	19860401		
ES 466555	A1	19781001	ES 1978-466555	19780202
AU 7832931	A1	19790809	AU 1978-32931	19780202
AU 516178	B2	19810521		
ZA 7800630	A	19791031	ZA 1978-630	19780202
GB 1569238	A	19800611	GB 1978-4304	19780202
PL 109705	B1	19800630	PL 1978-204401	19780202
CA 1088064	A1	19801021	CA 1978-296063	19780202
CH 639389	A	19831115	CH 1978-1147	19780202
FR 2379542	A1	19780901	FR 1978-3158	19780203
FR 2379542	B1	19821203		
ES 469110	A1	19781116	ES 1978-469110	19780425
ES 469111	A1	19781116	ES 1978-469111	19780425
ES 469112	A1	19781116	ES 1978-469112	19780425
ES 469113	A1	19781116	ES 1978-469113	19780425
AT 7902695	A	19790815	AT 1979-2695	19790411
AT 355590	B	19800310		
AT 7902696	A	19790815	AT 1979-2696	19790411
AT 355591	B	19800310		

PRIORITY APPLN. INFO.: DE 1977-2704485 A 19770203
AT 1978-111 A 19780109

OTHER SOURCE(S): MARPAT 89:163592
GI



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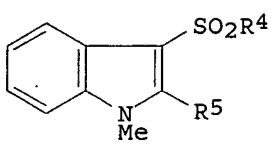


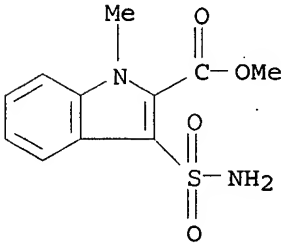
II

AB Thiazinoindoles I (R = optionally substituted or condensed 2-thiazolyl, 2-pyridyl, methyl-2-pyridyl, Ph, optionally substituted by F, Cl, Br, Me, Et, CF3, OMe; R1 = H, Me, Et; R2 = Me, Et; R3 = H, F, Cl, Br, OMe, Me, Et, CF3) were prepd. Thus, the indole II (R4 = NH2, R5 = CO2Me) was treated with NaOMe to give II (R4R5 = NNaCO), which was treated with CClCH2CO2Me to give II [R4R5 = N(CH2CO2Me)CO]. Treatment of the latter compd. with NaOMe gave II [R4R5 = NHC(CO2Me):COH], which was N-methylated and treated with 2-aminothiazole to give I (R = 2-thiazolyl, R1 = R2 = Me, R3 = OH; III). At 2 .times. 10-5 mol/L III gave 96% inhibition of blood platelet aggregation.

IT 3678-05-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of)

RN 3678-05-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-(aminosulfonyl)-1-methyl-, methyl ester (9CI) (CA INDEX NAME)

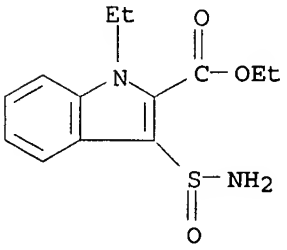


103(9)

IT 67929-62-8P 67929-71-9P 67929-89-9P
67930-01-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and oxidn. of)

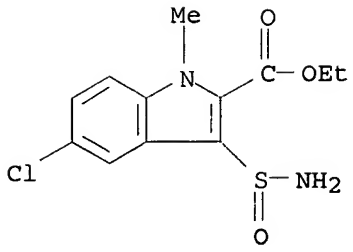
RN 67929-62-8 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-(aminosulfinyl)-1-ethyl-, ethyl ester (9CI) (CA INDEX NAME)

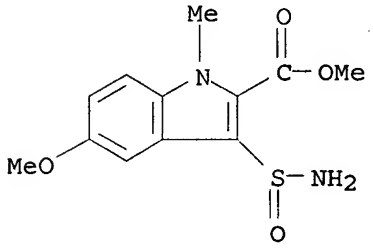


RN 67929-71-9 CAPLUS

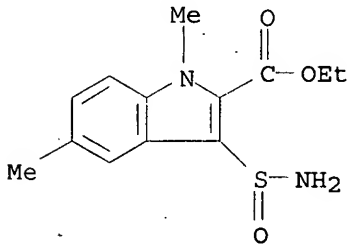
CN 1H-Indole-2-carboxylic acid, 3-(aminosulfinyl)-5-chloro-1-methyl-, ethyl ester (9CI) (CA INDEX NAME)



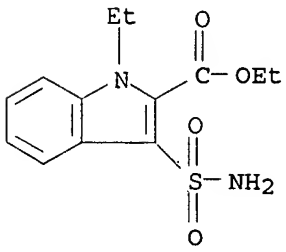
RN 67929-89-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(aminosulfinyl)-5-methoxy-1-methyl-, methyl ester (9CI) (CA INDEX NAME)



RN 67930-01-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(aminosulfinyl)-1,5-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)

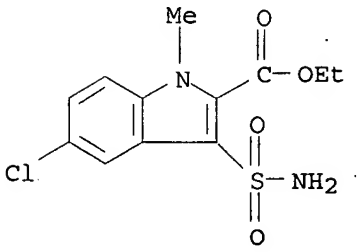


IT 67929-63-9P 67929-72-0P 67930-02-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, with chloroacetate)
RN 67929-63-9 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(aminosulfonyl)-1-ethyl-, ethyl ester (9CI) (CA INDEX NAME)

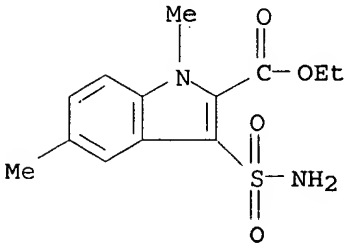


RN 67929-72-0 CAPLUS

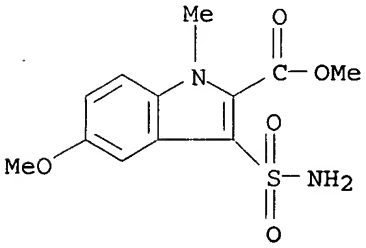
CN 1H-Indole-2-carboxylic acid, 3-(aminosulfonyl)-5-chloro-1-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 67930-02-3 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(aminosulfonyl)-1,5-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)



IT 67929-90-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, with chloroformate)
RN 67929-90-2 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(aminosulfonyl)-5-methoxy-1-methyl-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1966:465443 CAPLUS
DOCUMENT NUMBER: 65:65443
ORIGINAL REFERENCE NO.: 65:12174h,12175a-b
TITLE: Esters of 3-(aminosulfinyl)indole-2-carboxylic acids
INVENTOR(S): Szmuszkovicz, Jacob
PATENT ASSIGNEE(S): Upjohn Co.
SOURCE: 4 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3264311		19660802	US 1965-487088	19650913
PRIORITY APPLN. INFO.:			US	19650913

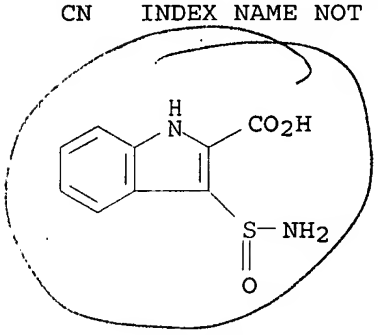
GI For diagram(s), see printed CA Issue.

AB The title compds. (I) were prepd. by treatment of an ester of 3-(halosulfinyl)indole- 2-carboxylic acid (II) where X was Cl or Br with anhyd. NH3 or an anhyd. primary or secondary amine at -70 to 25 in an inert solvent. II was prepd. by treatment of an ester of indole-2-carboxylic acid (III) with SOCl2 or SOBr2 at 20-30.degree.. Thus, to 1.89 g. solid Me 1-methylindole-2-carboxylate was added 5 ml. SOCl2. Soln. occurred followed by vigorous evolution of gas and solid. After 5 min., 15 ml. anhyd. Et2O was added and the solid triturated, collected, washed with Et2O, and dried in vacuo to give 2.45 g. Me 1-methyl-3-(chlorosulfinyl)indole-2-carboxylate (II, R = Me, R1 = Me, X = Cl) (IIa), m. 85-8.degree. (decompn.). To 150 ml. liquid NH3 in 300 ml. Et2O at -50.degree. was added 0.2 mole IIa with stirring. The suspension was stirred 5 min. and the excess NH3 allowed to evap., the Et2O evapd. in vacuo, and H2O added to give 94.5% Me 1-methyl-3-(aminosulfinyl)indole-2-carboxylate (I, R = Me, R1 = Me, R2 = R3 = H), m. 111-16.5.degree. (1:1 H2O-MeOH). Similarly prepd. were the following I (R, R1, R2, R3, and m.p. given): Me, Me, Me, H, 137-8.degree. (EtOAc); Me, Me, Me, Me, 134-5.degree. (MeOH); Me, Me, (R2R3N =) piperidino, 102.-4 (MeOH-H2O); H, Et, H, H, 169-70.degree. (dimethylformamide-Et2O). I possessed antifungal activity. 1-Methyl - 2 - (N - methylcarbamoyl) - 3 - (N - methylsulfinamido)indole, m. 171-2 (MeOH), was formed from IIa and MeNH2.

IT 859041-61-5, Indole-2-carboxylic acid, 3-(aminosulfinyl)- (derivs., esters).

RN 859041-61-5 CAPLUS

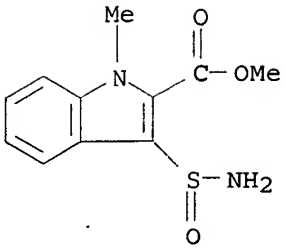
CN INDEX NAME NOT YET ASSIGNED



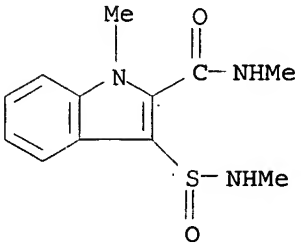
IT 3835-62-9, Indole-2-carboxylic acid, 3-(aminosulfinyl)-1-methyl-, methyl ester 7257-21-8, Indole-2-carboxamide, N,1-dimethyl-3-[(methylamino)sulfinyl]- 7272-70-0, Indole-2-carboxylic acid, 3-(aminosulfinyl)-, ethyl ester 7273-26-9, Indole-2-carboxylic acid, 1-methyl-3-[(methylamino)sulfinyl]-, methyl ester 7273-27-0, Indole-2-carboxylic acid, 3-[(dimethylamino)sulfinyl]-1-methyl-, methyl ester
(prepn. of)

RN 3835-62-9 CAPLUS

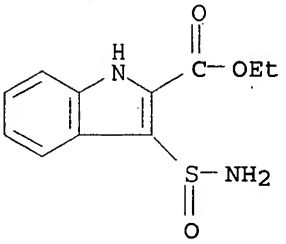
CN Indole-2-carboxylic acid, 3-(aminosulfinyl)-1-methyl-, methyl ester (7CI, 8CI) (CA INDEX NAME)



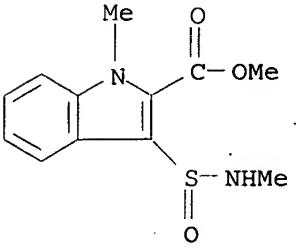
RN 7257-21-8 CAPLUS
CN Indole-2-carboxamide, N,1-dimethyl-3-[(methylamino)sulfinyl]- (7CI, 8CI) (CA INDEX NAME)



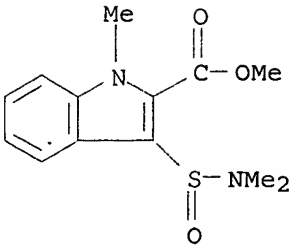
RN 7272-70-0 CAPLUS
CN Indole-2-carboxylic acid, 3-(aminosulfinyl)-, ethyl ester (7CI, 8CI) (CA INDEX NAME)



RN 7273-26-9 CAPLUS
CN Indole-2-carboxylic acid, 1-methyl-3-[(methylamino)sulfinyl]-, methyl ester (7CI, 8CI) (CA INDEX NAME)



RN 7273-27-0 CAPLUS
CN Indole-2-carboxylic acid, 3-[(dimethylamino)sulfinyl]-1-methyl-, methyl ester (7CI, 8CI) (CA INDEX NAME)



L4 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1965:480541 CAPLUS
DOCUMENT NUMBER: 63:80541
ORIGINAL REFERENCE NO.: 63:14818e-h,14819a
TITLE: Preparation of 3-[(alkylcarbamoyl)sulfamoyl]-1-alkylindole-2-carboxylic acids and their esters
PATENT ASSIGNEE(S): Upjohn Co.
SOURCE: 9 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6411635		19650408	NL 1964-11635	19641007
PRIORITY APPLN. INFO.:			US	19631007

GI For diagram(s), see printed CA Issue.

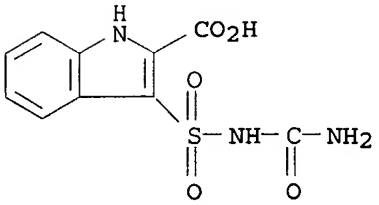
AB The prepd. compds. I(R,R2 = lower alkyl, R1 = H or lower alkyd showed sedative properties; in addn. the esters (R1 = alkyl) showed diuretic and the acids (R1 = H) antifungal activity (e.g. against Trichophyton rubrum). Further the compds., characterized by a high radiation absorption in the 280-800 m.mu. range, were useful as sun-protecting agents. Thus, 5 ml. SOCl2 was added to 1.89 g. solid 1-methylindole-2-carboxylic acid Me ester (II) the soln. (solidifying after strong gas evolution) set aside 5 min., 15 ml. anhyd. Et2O added, and the solid compd. triturated, filtered, washed (Et2O) and dried 10 min. in vacuo, to give 2.45 g. 3-(chlorosulfinyl) deriv. of II, m. 85-8.degree. (decompn.). The deriv. (prepd. from 0.2 mole II) was added with stirring in 3 min. at -50.degree. to a soln. of 150 ml. liquid NH3 in 300 ml. Et2O, the suspension stirred 5 min., the cold bath replaced by H2O to evap. the excess NH3, the solvent evapd. in vacuo, 200 ml. H2O added, and the ppt. washed 3 times with HO (100 ml. portions), to give 47.5 g. 3-(aminosulfinyl) deriv. of II m. 111-16.5.degree. (200 ml. MeOH-H2O (1:1)). With occasional cooling (to keep the temp. at 22-5.degree.) a soln. of 5.25 g. KMnO4 in 110 ml. H2O was added in 15 min. to a stirred soln. of 12.6 g. of this Me ester in 500 ml. Me2CO, the whole stirred 1.5 hrs., 5 ml. satd. aq. Na2SO3 soln. added, the mixt. filtered, the ppt. washed (Me2 CO), the filtrate and the wash-liquids joined, concd. in vacuo at 35.degree., the aq. suspension filtered and the ppt. washed (H2O) and dried, to give 8.3 g. sulfamoyl deriv. of II, m. 168.5-70.degree. (MeOH). Successively 194 ml. Et3N and 19.8 g. BuNCO were added to a suspension of 53.7 g. of this Me ester in 50 ml. HCONMe2, the mixt. stirred 22 hrs. to give 2 clear layers, 350 ml. H2O added, the whole stirred 30 min., extd. with 100 ml. Et2O, with cooling the clear aq. layer acidified (5% HCl), the oil kept a few min. to solidify, and the product filtered and washed (H2O), to give 46.75 g. 3-[(butylcarbamoyl)sulfamoyl] deriv, of II m. 191-2.degree. (MeOH), uv spectrum (95% EtOH) showing .lambda.max at 210 (32,400) and peaks at 236 (11.350) and 292 (10.900). A soln. of 36.6 g. of this deriv. in aq. NaOH (200 ml. 1N NaOH dild. to 700 ml.) was heated 2 hrs. on a steam-bath, the mixt. cooled with ice, acidified with 35 ml. concd. HCl, and the ppt. filtered and washed (H2O), to give 27 g. 3-[(butylcarbamoyl)sulfamoyl]-1-

methylindole-2-carboxylic acid m. 194.degree. (gas evolved) (aq. Me2CO), uv spectrum (95% EtOH) .lambda.max 212 (33.950) with peaks at 222 (29.450), 282 (10.050), 286 (10.650) and 300 (5.900). A no. of other compds. was prepd. similarly, however no phys. data given.

IT 875830-38-9, Indole-2-carboxylic acid, 3-(carbamoylsulfamoyl)- (derivs.)

RN 875830-38-9 CAPLUS

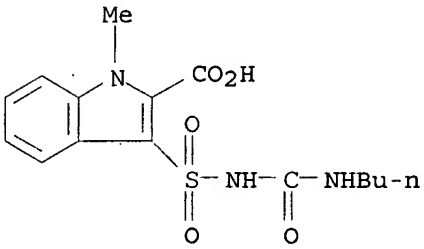
CN Indole-2-carboxylic acid, 3-(carbamoylsulfamoyl)- (7CI) (CA INDEX NAME)



IT 3678-04-4, Indole-2-carboxylic acid, 3-[(butylcarbamoyl)sulfamoyl]-1-methyl- 3678-05-5, Indole-2-carboxylic acid, 1-methyl-3-sulfamoyl-, methyl ester 3835-62-9, Indole-2-carboxylic acid, 3-(aminosulfinyl)-1-methyl-, methyl ester 3954-44-7, Indole-2-carboxylic acid, 3-[(butylcarbamoyl)sulfamoyl]-1-methyl-, methyl ester (prepn. of)

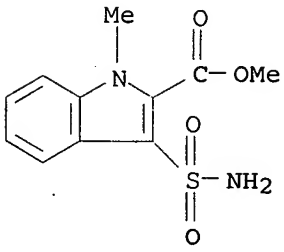
RN 3678-04-4 CAPLUS

CN Indole-2-carboxylic acid, 3-[(butylcarbamoyl)sulfamoyl]-1-methyl- (7CI, 8CI) (CA INDEX NAME)



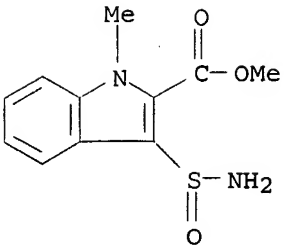
RN 3678-05-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-(aminosulfonyl)-1-methyl-, methyl ester (9CI) (CA INDEX NAME)

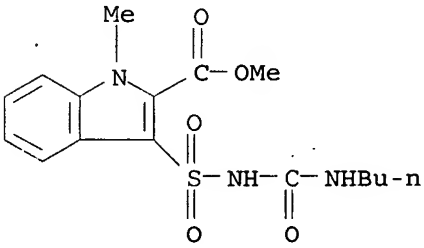


RN 3835-62-9 CAPLUS

CN Indole-2-carboxylic acid, 3-(aminosulfinyl)-1-methyl-, methyl ester (7CI, 8CI) (CA INDEX NAME)



RN 3954-44-7 CAPLUS
CN Indole-2-carboxylic acid, 3-[(butylcarbamoyl)sulfamoyl]-1-methyl-, methyl ester (7CI, 8CI) (CA INDEX NAME)



L4 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1965:480540 CAPLUS
DOCUMENT NUMBER: 63:80540
ORIGINAL REFERENCE NO.: 63:14818c-e
TITLE: Derivatives of 3,3'-dithiobis[indole-2-carboxylic acid] dihydrazides
INVENTOR(S): Szmuszkovicz, Jacob
PATENT ASSIGNEE(S): Upjohn Co.
SOURCE: 4 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

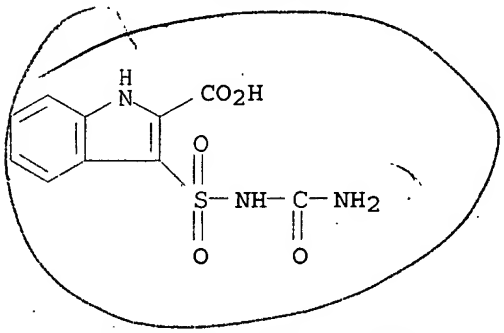
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3180875		19650427	US 1963-314484	19631007

PRIORITY APPLN. INFO.: US 19631007
OTHER SOURCE(S): CASREACT 63:80540

AB Thionyl chloride (5 cc.) was added to 1.89 g. methyl 1-methylindole-2-carboxylate to give methyl 1-methyl-3-(chlorosulfinyl)indole-2-carboxylate (I), m. 85-8.degree. (decompn.). I, prepd. from 0.8 mole methyl 1-methylindole-2-carboxylate, was added over 2 hrs. to a stirred soln. of 51.3 g. anhyd. NH2NH2, in 4 l. of Et2O while cooling at 5.degree. to yield 70% 3,3'-dithiobis(1-methylindole-2-carboxylic acid) dimethyl ester (II), m. 199-201.degree.. A mixt. of 27.5 g. II and 125 cc. NH2NH2.H2O was refluxed in an oil bath with stirring for 1 hr. and the mixt. kept 12 hrs. to yield 80% 3,3-dithiobis(1-methylindole-2-carboxylic acid)dihydrazide (III), m. 236.5-38.degree.. A mixt. of 15 g. III and 3 l. Me2CO was refluxed 2.5 hrs. to give 3,3'-dithiobis(1-methylindole-2-carboxylic acid) bis(isopropylidenehydrazide), m. 219-20.degree.. Similarly prepd. was 3,3'-dithiobis(1-methylindole-2-carboxylic acid) bis(benzylidenehydrazide), m. 222-3.degree..

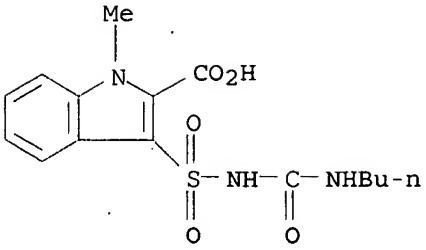
IT 875830-38-9, Indole-2-carboxylic acid, 3-(carbamoylsulfamoyl)-(derivs.)
RN 875830-38-9 CAPLUS

CN Indole-2-carboxylic acid, 3-(carbamoylsulfamoyl)- (7CI) (CA INDEX NAME)

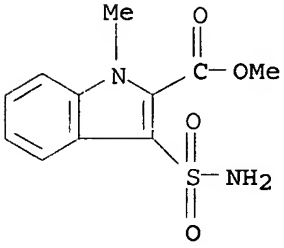


102(b)

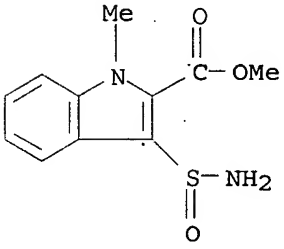
L4 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1964:23245 CAPLUS
DOCUMENT NUMBER: 60:23245
ORIGINAL REFERENCE NO.: 60:4088h,4089a-c
TITLE: Reaction of indole derivatives with thionyl and sulfuryl chlorides
AUTHOR(S): Szmuszkovicz, Jacob
CORPORATE SOURCE: Upjohn Co., Kalamazoo, MI
SOURCE: Journal of Organic Chemistry (1964), 29(1), 178-84
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 60:23245
GI For diagram(s), see printed CA Issue.
AB Reaction of 1-methylindole-2-carboxylic acid, the corresponding methyl ester (I), and of Et indole-2-carboxylate with thionyl chloride afforded sulfinyl chlorides (II, III, and IV, resp.). Thionyl chloride and N,1-dimethylindole-2-carboxamide led to sulfide (V, R = CONHMe) and imide sulfoxide (VI). III was converted to several sulfinamides (VII) on treatment with amines. VII were oxidized with permanganate to sulfonamides (VIII). Treatment of III with hydrazine in the cold gave disulfide (IX, R = CO2Me) (X), which was transformed to IX (R = CONHNH2) on heating with hydrazine. Monosulfide (V, R = CO2Me), disulfide X, and trisulfide XI were obtained from the reaction of I with sulfur monochloride. Reaction of 1-methylindole-2-carboxylic acid hydrazide with sulfuryl chloride led to the dichloro compd. (XII), and I with sulfuryl chloride afforded the tetrachloro compd. (XIII) and the hexachloro compd. (XIV).
IT 3678-04-4, Indole-2-carboxylic acid, 3-[(butylcarbamoyl)sulfamoyl]-1-methyl- 3678-05-5, Indole-2-carboxylic acid, 1-methyl-3-sulfamoyl-, methyl ester 3835-62-9, Indole-2-carboxylic acid, 3-(aminosulfinyl)-1-methyl-, methyl ester 3954-44-7, Indole-2-carboxylic acid, 3-[(butylcarbamoyl)sulfamoyl]-1-methyl-, methyl ester 7257-21-8, Indole-2-carboxamide, N,1-dimethyl-3-[(methylamino)sulfinyl]- 7272-70-0, Indole-2-carboxylic acid, 3-(aminosulfinyl)-, ethyl ester 7273-26-9, Indole-2-carboxylic acid, 1-methyl-3-[(methylamino)sulfinyl]-, methyl ester 7273-27-0, Indole-2-carboxylic acid, 3-[(dimethylamino)sulfinyl]-1-methyl-, methyl ester 91088-34-5, Indole-2-carboxylic acid, 3-sulfamoyl-, ethyl ester 91567-95-2, Indole-2-carboxylic acid, 1-methyl-3-(methylsulfamoyl)-, methyl ester 91643-82-2, Indole-2-carboxamide, N,1-dimethyl-3-(methylsulfamoyl)- 92109-30-3, Indole-2-carboxylic acid, 3-(dimethylsulfamoyl)-1-methyl-, methyl ester (prepn. of)
RN 3678-04-4 CAPLUS
CN Indole-2-carboxylic acid, 3-[(butylcarbamoyl)sulfamoyl]-1-methyl- (7CI, 8CI) (CA INDEX NAME)



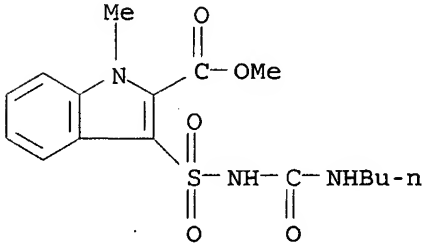
RN 3678-05-5 CAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(aminosulfonyl)-1-methyl-, methyl ester (9CI) (CA INDEX NAME)



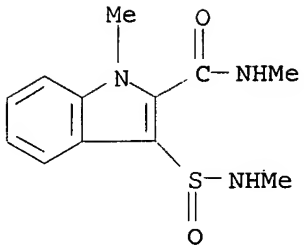
RN 3835-62-9 CAPLUS
CN Indole-2-carboxylic acid, 3-(aminosulfinyl)-1-methyl-, methyl ester (7CI, 8CI) (CA INDEX NAME)



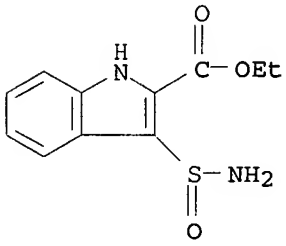
RN 3954-44-7 CAPLUS
CN Indole-2-carboxylic acid, 3-[(butylcarbamoyl)sulfamoyl]-1-methyl-, methyl ester (7CI, 8CI) (CA INDEX NAME)



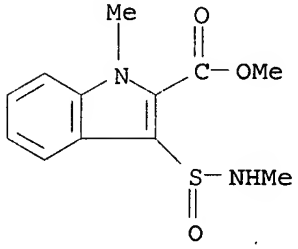
RN 7257-21-8 CAPLUS
CN Indole-2-carboxamide, N,1-dimethyl-3-[(methylamino)sulfinyl]- (7CI, 8CI) (CA INDEX NAME)



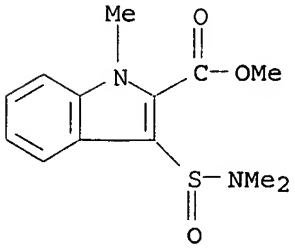
RN 7272-70-0 CAPLUS
CN Indole-2-carboxylic acid, 3-(aminosulfinyl)-, ethyl ester (7CI, 8CI) (CA INDEX NAME)



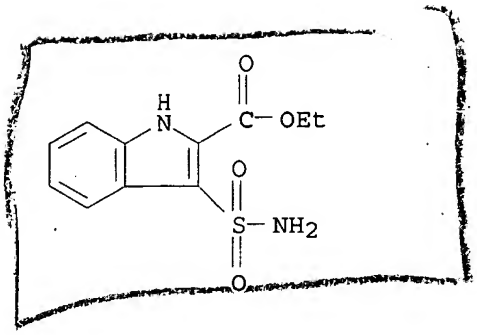
RN 7273-26-9 CAPLUS
CN Indole-2-carboxylic acid, 1-methyl-3-[(methylamino)sulfinyl]-, methyl ester (7CI, 8CI) (CA INDEX NAME)



RN 7273-27-0 CAPLUS
CN Indole-2-carboxylic acid, 3-[(dimethylamino)sulfinyl]-1-methyl-, methyl ester (7CI, 8CI) (CA INDEX NAME)

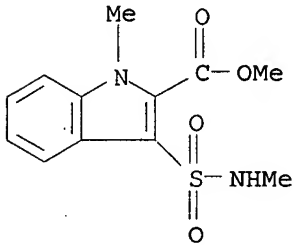


RN 91088-34-5 CAPLUS
CN Indole-2-carboxylic acid, 3-sulfamoyl-, ethyl ester (7CI) (CA INDEX NAME)

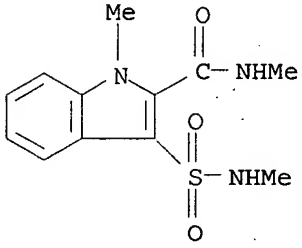


1026 [RN 91088-34-5]

RN 91567-95-2 CAPLUS
CN Indole-2-carboxylic acid, 1-methyl-3-(methylsulfamoyl)-, methyl ester (7CI) (CA INDEX NAME)



RN 91643-82-2 CAPLUS
CN Indole-2-carboxamide, N,1-dimethyl-3-(methylsulfamoyl)- (7CI) (CA INDEX NAME)



RN 92109-30-3 CAPLUS
CN Indole-2-carboxylic acid, 3-(dimethylsulfamoyl)-1-methyl-, methyl ester (7CI) (CA INDEX NAME)